



STIC Search Report

Biotech-Chem Library

STIC Database Tracking Number: 161850

TO: Ben Sackey

Location:

Art Unit: 1626

August 8, 2005

Case Serial Number: 10/662745

From: P. Sheppard

Location: Remsen Building

Phone: (571) 272-2529

sheppard@uspto.gov

Search Notes

Part 9

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: BEN SACKET Examiner #: 73489 Date: 8/8/05
Art Unit: 1626 Phone Number 2-0704 Serial Number: 10/662,745
Mail Box and Bldg/Room Location: LEM 5331 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

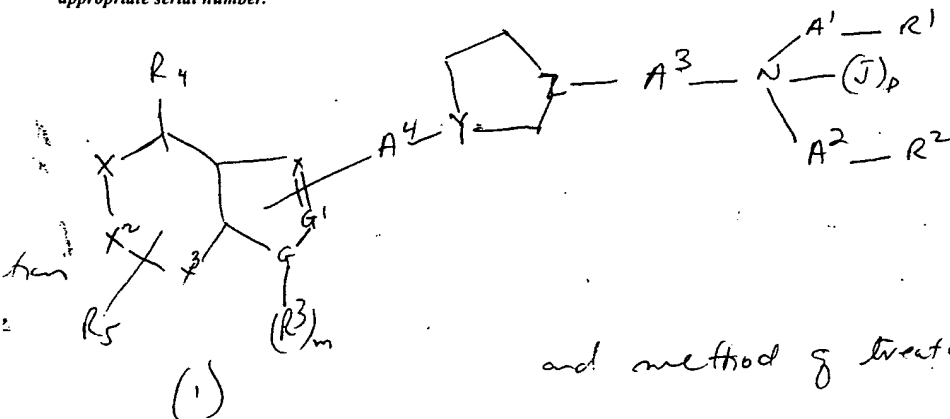
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Cyclopentyl indole derivatives

Inventors (please provide full names): King et al.

Earliest Priority Filing Date: 9/18/02

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



and method of treating pre-mature ejaculation.

A¹, A² → a bond or ethylene

A³ → a bond, ethylene or ethylidene

A⁴ → ethylene, a bond or attached to X, X' or Y²

J is alkyl

R¹ & R² - R⁵ are as defined

Thanks

STAFF USE ONLY

Searcher: Sheppards

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: 8/8/05

Searcher Prep & Review Time: _____

Clerical Prep Time: _____

Online Time: _____

Type of Search

NA Sequence (#) _____

AA Sequence (#) _____

Structure (#) _____

Bibliographic _____

Litigation _____

Fulltext _____

Patent Family _____

Other _____

Vendors and cost where applicable

STN _____

Dialog _____

Questel/Orbit _____

Dr.Link _____

Lexis/Nexis _____

Sequence Systems _____

WWW/Internet _____

Other (specify) _____

=> d his ful

(FILE 'REGISTRY' ENTERED AT 17:32:48 ON 08 AUG 2005)

L1 STR
L2 STR
L3 STR
L4 0 SEA SSS SAM L1 OR L2 OR L3
L5 150 SEA SSS FUL L1 OR L2 OR L3

FILE 'HCAPLUS' ENTERED AT 17:50:26 ON 08 AUG 2005

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L7 6 SEA ABB=ON PLU=ON L6 AND PD=<SEPTEMBER 18, 2002
L8 11028 SEA ABB=ON PLU=ON ("PREMATURE EJACULATION"/CV OR "SEXUAL
BEHAVIOR (L) PREMATURE EJACULATION"/CV) OR PREMATURE(A) EJACULAT
? OR SEXUAL(W) (DYSFUNCTION OR BEHAVIOR) OR ?IMPOTEN?
L9 3 SEA ABB=ON PLU=ON L6 AND L8
L10 0 SEA ABB=ON PLU=ON L7 AND L9
D STAT QUE
D IBIB ABS HITSTR L9 1-3
L11 6 SEA ABB=ON PLU=ON L7 NOT L9
D STAT QUE L11
D IBIB ABS HITSTR L11 1-6
L12 4 SEA ABB=ON PLU=ON L6 NOT (L9 OR L11)
D STAT QUE L12
D IBIB ABS HITSTR L12 1-4

FILE HCAPLUS

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FILE COVERS 1907 - 8 Aug 2005 VOL 143 ISS 7
FILE LAST UPDATED: 7 Aug 2005 (20050807/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

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=>

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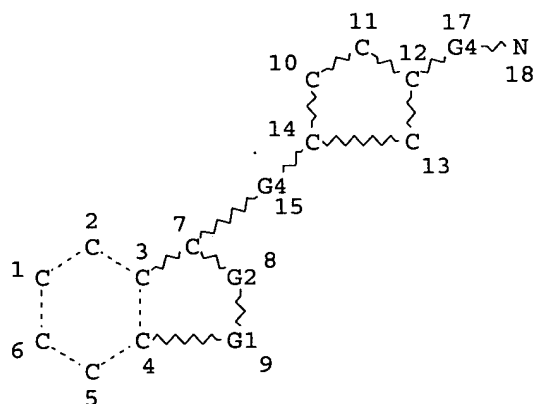
FILE COVERS 1907 - 8 Aug 2005 VOL 143 ISS 7
FILE LAST UPDATED: 7 Aug 2005 (20050807/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d stat que

L1 STR



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VAR G2=N/C

REP G4=(0-4) C

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DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

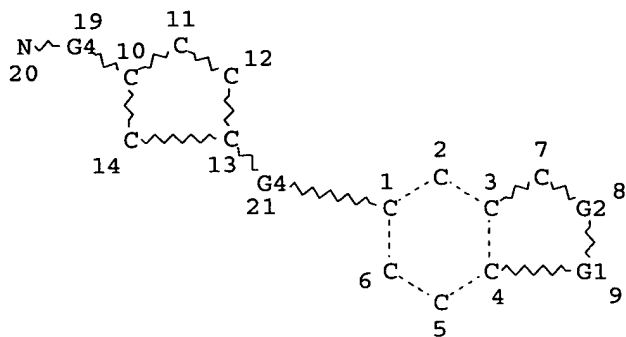
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NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

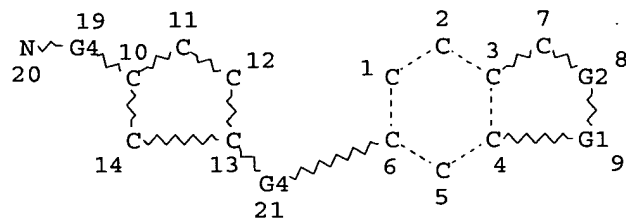
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
 L3 STR



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 REP G4=(0-4) C
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STEREO ATTRIBUTES: NONE

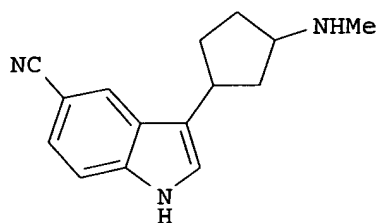
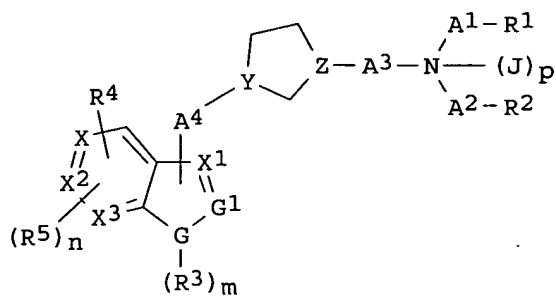
L5 150 SEA FILE=REGISTRY SSS FUL L1 OR L2 OR L3
 L6 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L5
 L7 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND PD=<SEPTEMBER 18, 2002
 L8 11028 SEA FILE=HCAPLUS ABB=ON PLU=ON ("PREMATURE EJACULATION"/CV
 OR "SEXUAL BEHAVIOR (L) PREMATURE EJACULATION"/CV) OR PREMATURE
 (A) EJACULAT? OR SEXUAL(W) (DYSFUNCTION OR BEHAVIOR) OR ?IMPOTEN?

L9 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND L8
 L10 0 SEA FILE=HCAPLUS ABB=ON PLU=ON L7 AND L9

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L9 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2004:270000 HCAPLUS
 DOCUMENT NUMBER: 140:303527
 TITLE: Preparation of cyclopentyl indole derivatives as
 selective serotonin reuptake inhibitors
 INVENTOR(S): King, Dalton; Deskus, Jeffrey A.; Macor, John E.;
 Mattson, Ronald J.; Meng, Zhaoxing; Sloan, Charles P.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 70 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026236	A2	20040401	WO 2003-US28989	20030915
WO 2004026236	A3	20040603		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004077705	A1	20040422	US 2003-662745	20030915
PRIORITY APPLN. INFO.:			US 2002-411733P	P 20020918
OTHER SOURCE(S):	MARPAT 140:303527			
GI				



AB The title compds. I [A1, A2 = alkylene or a bond; A3 = a bond, alkylene or alkylidene; A4 = alkylene or a bond and is attached to X, X1; X2; X, X1, X2, X3 = C or CH; J = alkyl; p = 0 or 1; R1, R2 = H, alkyl, (substituted) cycloalkyl, (substituted) Ph, (substituted) OPh, -NHCO2alkyl, alkylNHCO2-, etc.; R3 = H or alkyl; m = 0 or 1; R4, R5 = H, CN, halo, NO2, alkyl, perfluoroalkyl, and is attached to G1, X, X1, X2, or X3; n = 0 or 1; G = N, O, or S; G1 = N, C, or CH; Y = (D)H; D = C; Z = (E)H; E = C; with provisos] were prepared for the treatment of **premature ejaculation**, depression, attention deficit hyperactivity disorder, obsessive-compulsive disorder, post-traumatic stress disorder and substance abuse disorders. Thus, reaction of 3-(3-oxocyclopentyl)-1H-indole-5-carbonitrile (preparation given) with Me amine followed by reduction yielded compound II as TFA salt. The latter showed serotonin transporter binding and norepinephrine transport binding with 1 nM < Ki < 100 nM and > 1000 nM, resp.

IT 676169-26-9P 676169-27-0P 676169-28-1P
 676169-29-2P 676169-30-5P 676169-31-6P
 676169-32-7P 676169-33-8P 676169-34-9P
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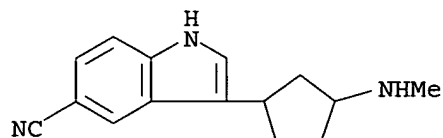
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676169-92-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of cyclopentyl indole derivs. as selective serotonin reuptake
inhibitors)

RN 676169-26-9 HCAPLUS

CN 1H-Indole-5-carbonitrile, 3-[3-(methylamino)cyclopentyl]- (9CI) (CA INDEX
NAME)



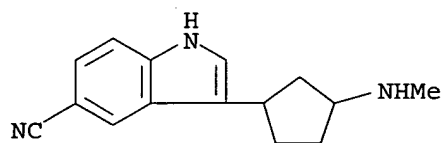
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CN 1H-Indole-5-carbonitrile, 3-[3-(methylamino)cyclopentyl]-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 676169-26-9

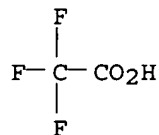
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CM 2

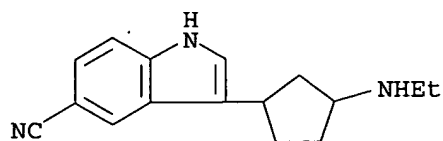
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RN 676169-28-1 HCAPLUS

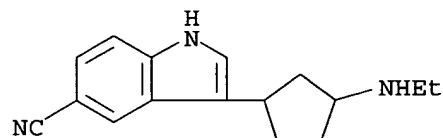
CN 1H-Indole-5-carbonitrile, 3-[3-(ethylamino)cyclopentyl]- (9CI) (CA INDEX
NAME)



RN 676169-29-2 HCAPLUS
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 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

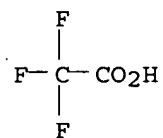
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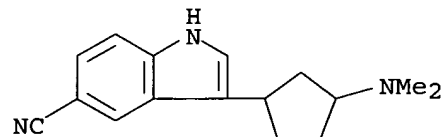


CM 2

CRN 76-05-1
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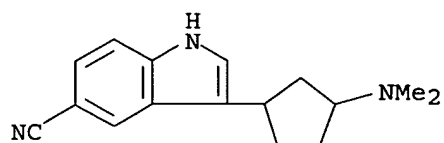
RN 676169-30-5 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[3-(dimethylamino)cyclopentyl]- (9CI) (CA
 INDEX NAME)



RN 676169-31-6 HCAPLUS
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 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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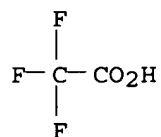
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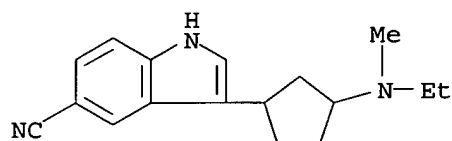
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CMF C2 H F3 O2



RN 676169-32-7 HCAPLUS

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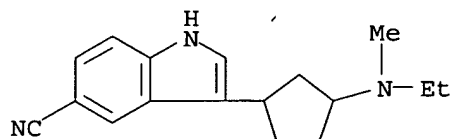
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CN 1H-Indole-5-carbonitrile, 3-[3-(ethylmethylamino)cyclopentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 676169-32-7

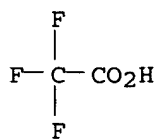
CMF C17 H21 N3



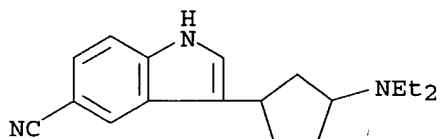
CM 2

CRN 76-05-1

CMF C2 H F3 O2



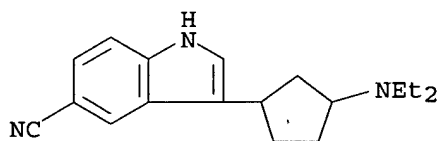
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 CN 1H-Indole-5-carbonitrile, 3-[3-(diethylamino)cyclopentyl]- (9CI) (CA INDEX NAME)



RN 676169-35-0 HCAPLUS
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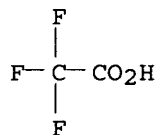
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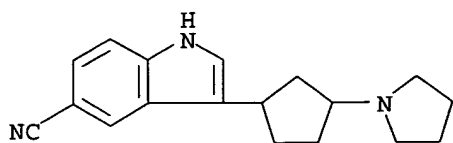


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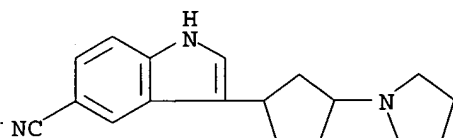
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 CN 1H-Indole-5-carbonitrile, 3-[3-(1-pyrrolidinyl)cyclopentyl]- (9CI) (CA INDEX NAME)



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 mono(trifluoroacetate) (9CI) (CA INDEX NAME)

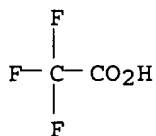
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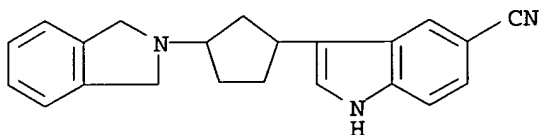


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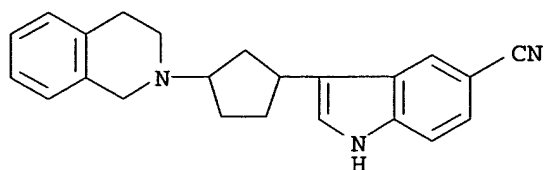
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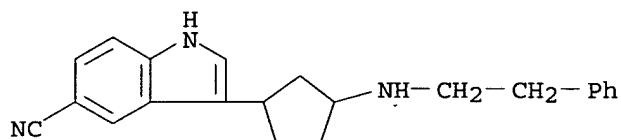
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 (9CI) (CA INDEX NAME)



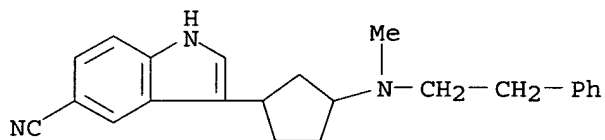
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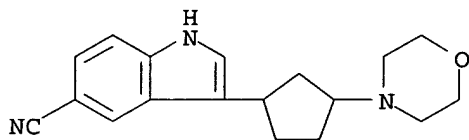
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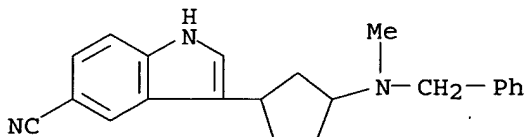
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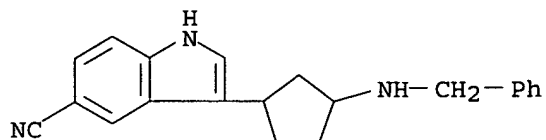
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 INDEX NAME)



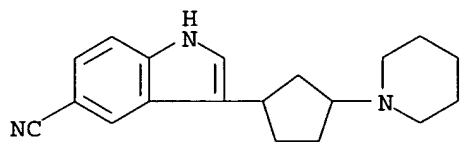
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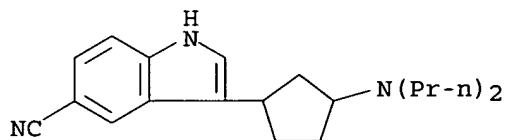
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 (CA INDEX NAME)



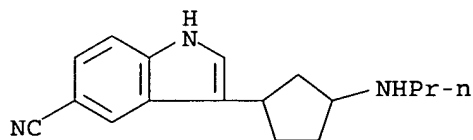
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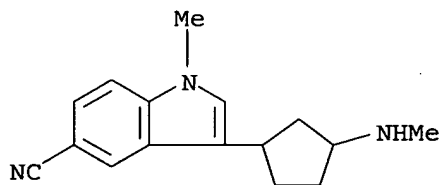
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RN 676169-47-4 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[3-(propylamino)cyclopentyl]- (9CI) (CA INDEX NAME)

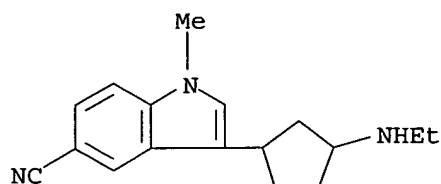


RN 676169-48-5 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 1-methyl-3-[3-(methylamino)cyclopentyl]- (9CI) (CA INDEX NAME)

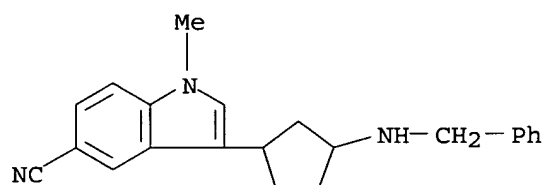


RN 676169-49-6 HCAPLUS

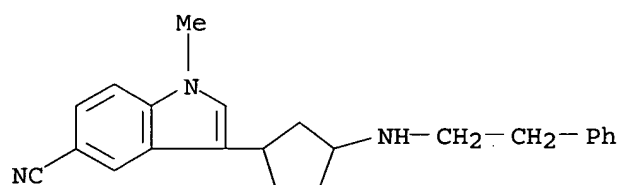
CN 1H-Indole-5-carbonitrile, 3-[3-(ethylamino)cyclopentyl]-1-methyl- (9CI)
(CA INDEX NAME)



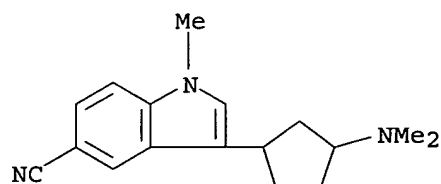
RN 676169-50-9 HCAPLUS
CN 1H-Indole-5-carbonitrile, 1-methyl-3-[3-[(phenylmethyl)amino]cyclopentyl]- (9CI) (CA INDEX NAME)



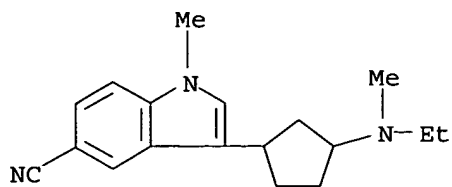
RN 676169-51-0 HCAPLUS
CN 1H-Indole-5-carbonitrile, 1-methyl-3-[3-[(2-phenylethyl)amino]cyclopentyl]- (9CI) (CA INDEX NAME)



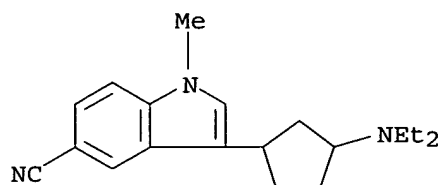
RN 676169-52-1 HCAPLUS
CN 1H-Indole-5-carbonitrile, 3-[3-(dimethylamino)cyclopentyl]-1-methyl- (9CI)
(CA INDEX NAME)



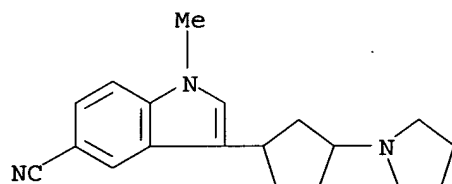
RN 676169-53-2 HCAPLUS
CN 1H-Indole-5-carbonitrile, 3-[3-(ethylmethylamino)cyclopentyl]-1-methyl- (9CI) (CA INDEX NAME)



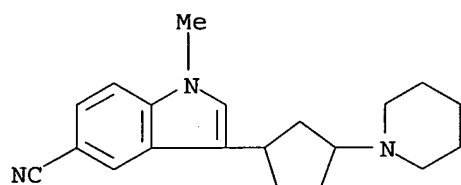
RN 676169-54-3 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[3-(diethylamino)cyclopentyl]-1-methyl- (9CI)
 (CA INDEX NAME)



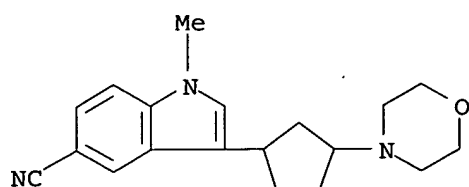
RN 676169-55-4 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 1-methyl-3-[3-(1-pyrrolidinyl)cyclopentyl]-
 (9CI) (CA INDEX NAME)



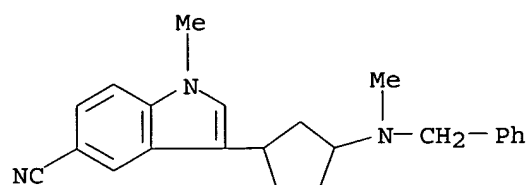
RN 676169-56-5 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 1-methyl-3-[3-(1-piperidynyl)cyclopentyl]- (9CI)
 (CA INDEX NAME)



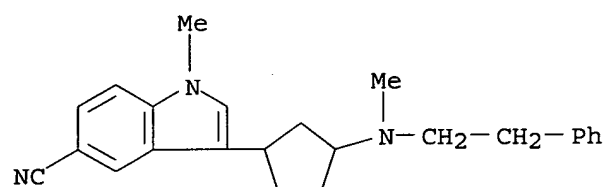
RN 676169-57-6 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 1-methyl-3-[3-(4-morpholinyl)cyclopentyl]- (9CI)
 (CA INDEX NAME)



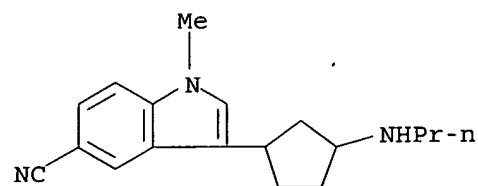
RN 676169-58-7 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 1-methyl-3-[3-[methyl(phenylmethyl)amino]cyclopentyl]- (9CI) (CA INDEX NAME)



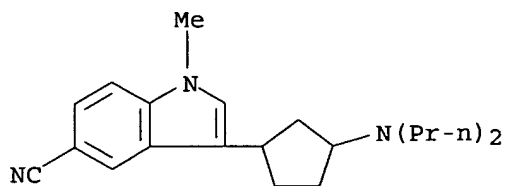
RN 676169-59-8 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 1-methyl-3-[3-[methyl(2-phenylethyl)amino]cyclopentyl]- (9CI) (CA INDEX NAME)



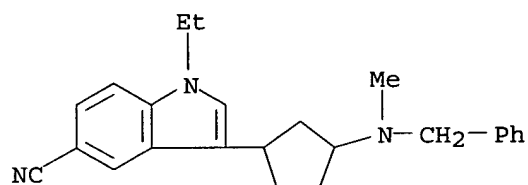
RN 676169-60-1 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 1-methyl-3-[3-(propylamino)cyclopentyl]- (9CI) (CA INDEX NAME)



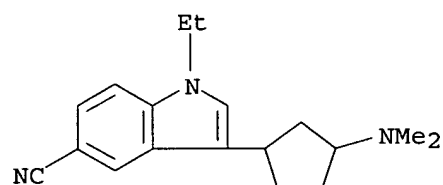
RN 676169-61-2 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[3-(dipropylamino)cyclopentyl]-1-methyl- (9CI) (CA INDEX NAME)



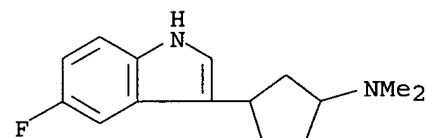
RN 676169-62-3 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 1-ethyl-3-[3-[methyl(phenylmethyl)amino]cyclopentyl]- (9CI) (CA INDEX NAME)



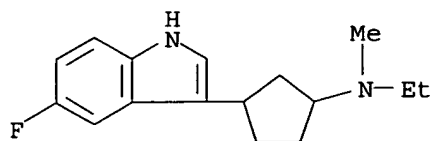
RN 676169-63-4 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[3-(dimethylamino)cyclopentyl]-1-ethyl- (9CI) (CA INDEX NAME)



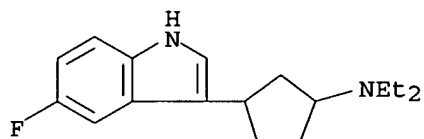
RN 676169-64-5 HCAPLUS
 CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



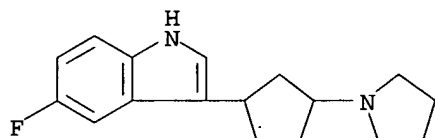
RN 676169-65-6 HCAPLUS
 CN Cyclopentanamine, N-ethyl-3-(5-fluoro-1H-indol-3-yl)-N-methyl- (9CI) (CA INDEX NAME)



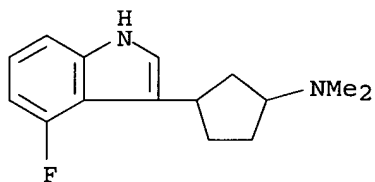
RN 676169-66-7 HCAPLUS
 CN Cyclopentanamine, N,N-diethyl-3-(5-fluoro-1H-indol-3-yl)- (9CI) (CA INDEX NAME)



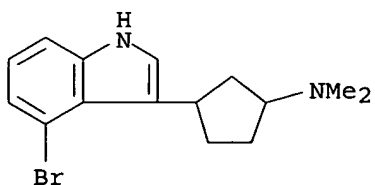
RN 676169-67-8 HCAPLUS
 CN 1H-Indole, 5-fluoro-3-[3-(1-pyrrolidinyl)cyclopentyl]- (9CI) (CA INDEX NAME)



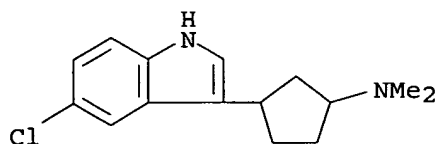
RN 676169-68-9 HCAPLUS
 CN Cyclopentanamine, 3-(4-fluoro-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



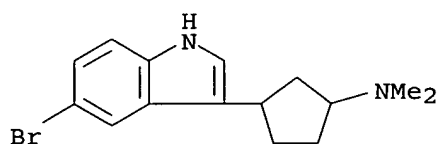
RN 676169-69-0 HCAPLUS
 CN Cyclopentanamine, 3-(4-bromo-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



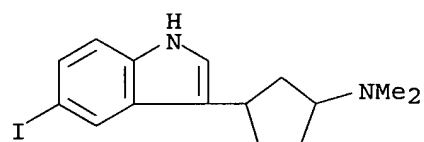
RN 676169-70-3 HCAPLUS
 CN Cyclopentanamine, 3-(5-chloro-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



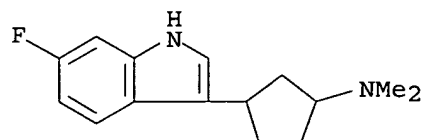
RN 676169-71-4 HCAPLUS
 CN Cyclopentanamine, 3-(5-bromo-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



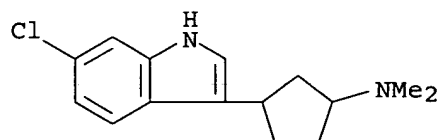
RN 676169-72-5 HCAPLUS
 CN Cyclopentanamine, 3-(5-iodo-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



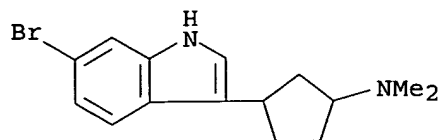
RN 676169-73-6 HCAPLUS
 CN Cyclopentanamine, 3-(6-fluoro-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



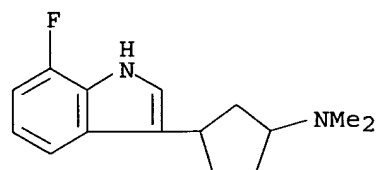
RN 676169-74-7 HCAPLUS
 CN Cyclopentanamine, 3-(6-chloro-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



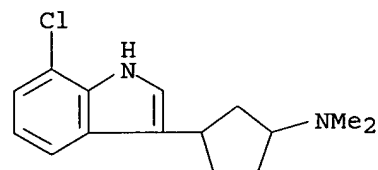
RN 676169-75-8 HCAPLUS
 CN Cyclopentanamine, 3-(6-bromo-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



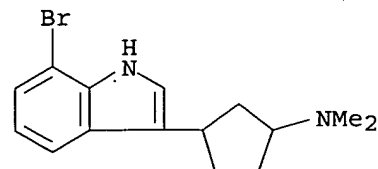
RN 676169-76-9 HCAPLUS
 CN Cyclopentanamine, 3-(7-fluoro-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 676169-77-0 HCAPLUS
 CN Cyclopentanamine, 3-(7-chloro-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

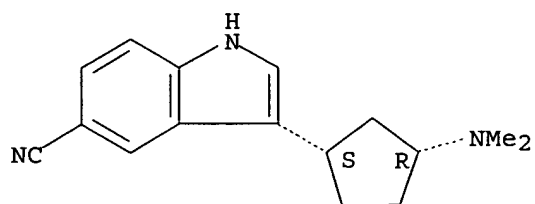


RN 676169-78-1 HCAPLUS
 CN Cyclopentanamine, 3-(7-bromo-1H-indol-3-yl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



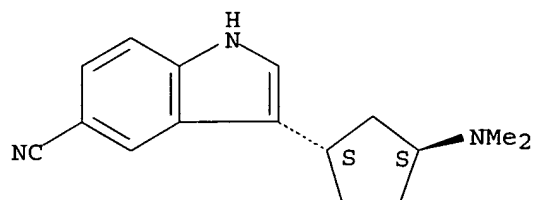
RN 676169-79-2 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1S,3R)-3-(dimethylamino)cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



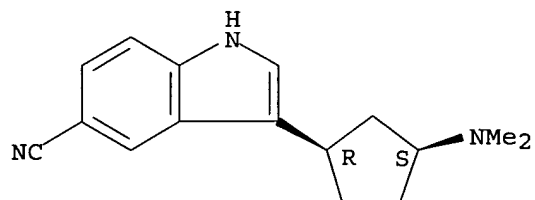
RN 676169-80-5 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1S,3S)-3-(dimethylamino)cyclopentyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



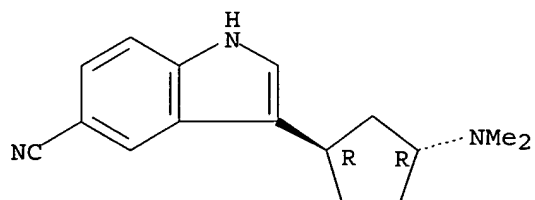
RN 676169-81-6 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1R,3S)-3-(dimethylamino)cyclopentyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



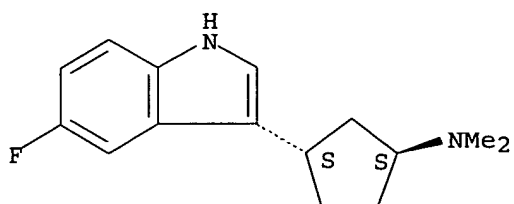
RN 676169-82-7 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1R,3R)-3-(dimethylamino)cyclopentyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



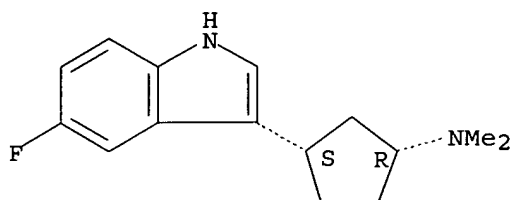
RN 676169-83-8 HCAPLUS
 CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-N,N-dimethyl-, (1S,3S)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



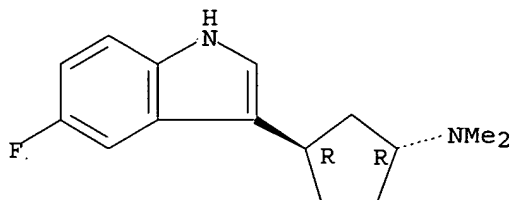
RN 676169-84-9 HCAPLUS
 CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-N,N-dimethyl-, (1R,3S)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



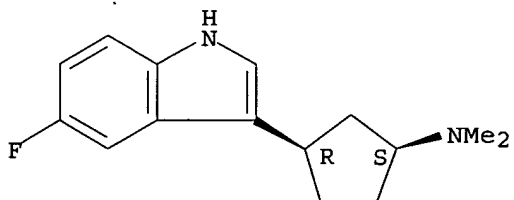
RN 676169-85-0 HCAPLUS
 CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-N,N-dimethyl-, (1R,3R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



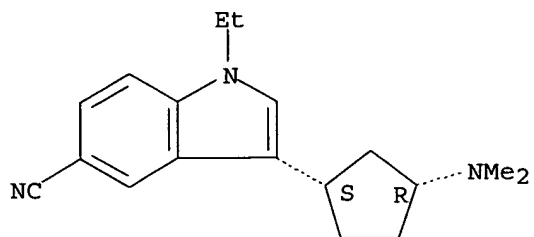
RN 676169-86-1 HCAPLUS
 CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-N,N-dimethyl-, (1S,3R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



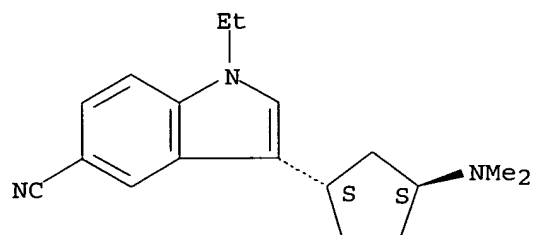
RN 676169-87-2 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1S,3R)-3-(dimethylamino)cyclopentyl]-1-ethyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



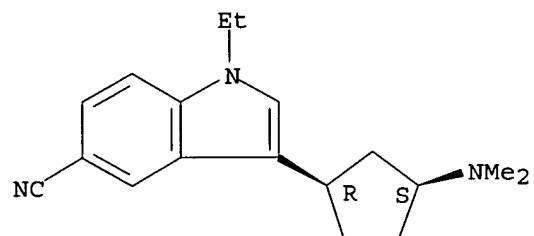
RN 676169-88-3 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1S,3S)-3-(dimethylamino)cyclopentyl]-1-ethyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



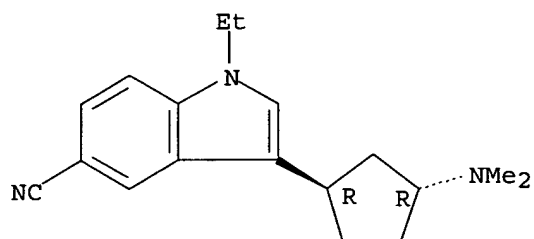
RN 676169-89-4 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1R,3S)-3-(dimethylamino)cyclopentyl]-1-ethyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



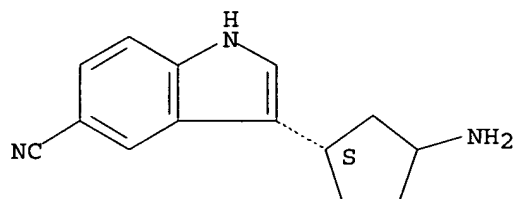
RN 676169-90-7 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1R,3R)-3-(dimethylamino)cyclopentyl]-1-ethyl-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



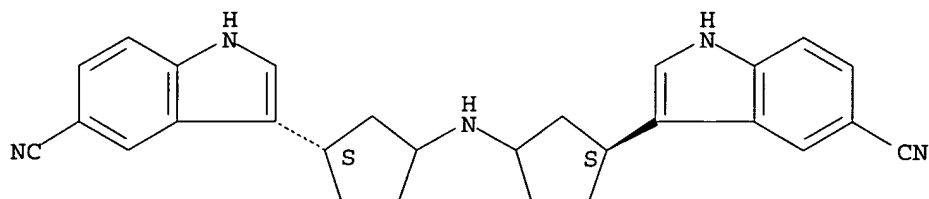
RN 676169-91-8 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1S)-3-aminocyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



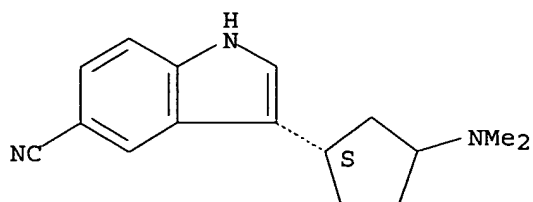
RN 676169-92-9 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3,3'-[imino-di-(1S)-3,1-cyclopentanediy]bis- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



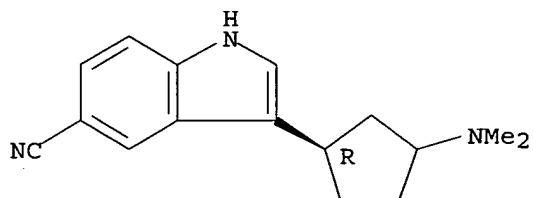
IT 676170-16-4P 676170-17-5P 676170-18-6P
 676170-19-7P 676170-20-0P 676170-21-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of cyclopentyl indole derivs. as selective serotonin reuptake inhibitors)
 RN 676170-16-4 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1S)-3-(dimethylamino)cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



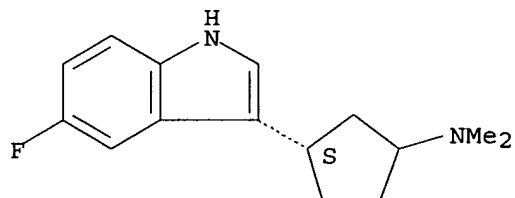
RN 676170-17-5 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[(1R)-3-(dimethylamino)cyclopentyl]- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



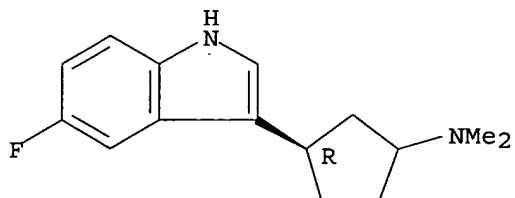
RN 676170-18-6 HCAPLUS
 CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-N,N-dimethyl-, (3S)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



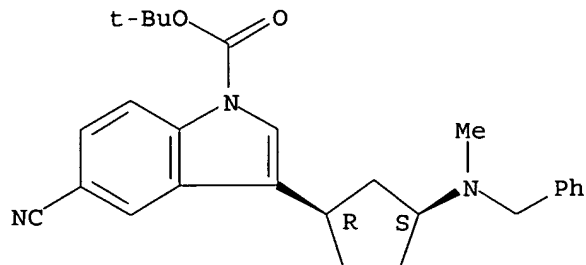
RN 676170-19-7 HCAPLUS
 CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-N,N-dimethyl-, (3R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 676170-20-0 HCAPLUS
 CN 1H-Indole-1-carboxylic acid, 5-cyano-3-[(1R,3S)-3-[methyl(phenylmethyl)amino]cyclopentyl]-, 1,1-dimethylethyl ester, rel- (9CI) (CA INDEX NAME)

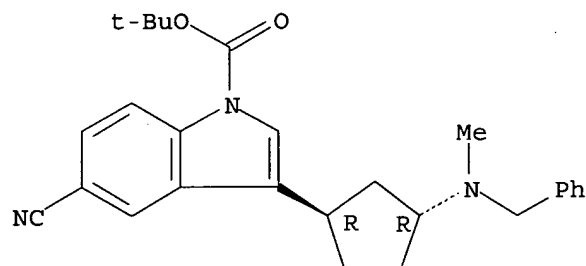
Relative stereochemistry.



RN 676170-21-1 HCAPLUS

CN 1H-Indole-1-carboxylic acid, 5-cyano-3-[(1R,3R)-3-[methyl(phenylmethyl)amino]cyclopentyl]-, 1,1-dimethylethyl ester, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.



L9 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:252516 HCAPLUS

DOCUMENT NUMBER: 140:287396

TITLE: Preparation of antidepressant cycloalkylamine derivatives of heterocycle-fused benzodioxans

INVENTOR(S): Stack, Gary Paul; Evrard, Deborah Ann; Shah, Uresh Shantilal

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

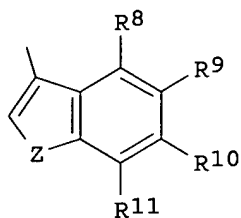
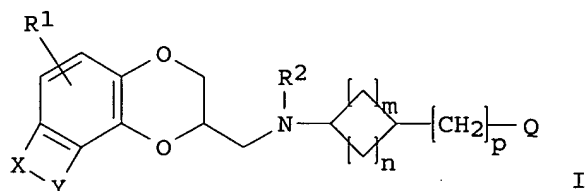
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

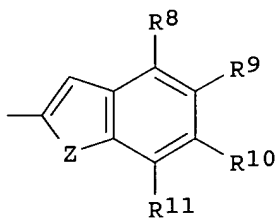
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024732	A1	20040325	WO 2003-US28459	20030911
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				

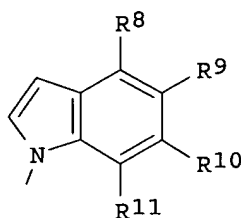
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 US 2004171667 A1 20040902 US 2003-659174 20030910
 US 6911445 B2 20050628
 CA 2498131 AA 20040325 CA 2003-2498131 20030911
 EP 1546151 A1 20050629 EP 2003-795686 20030911
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 PRIORITY APPLN. INFO.: US 2002-410072P P 20020912
 US 2003-659174 A 20030910
 WO 2003-US28459 W 20030911
 OTHER SOURCE(S): MARPAT 140:287396
 GI



II



III



IV

AB The title compds. [I; R1 = H, halo, CN, carboxamido, etc.; R2 = H, alkyl; XY = N:CR3CR4:N, NCR3CR5:CH, N:CR3N:CH, N:CR3O, NHCR6:N, NHCR7:CH; R3, R4 = H, halo, NH2, mono- or dialkylamino, alkyl; R5 = H, alkyl; R6 = H, halo, CF3, pentafluoroethyl, NH2, etc.; R7 = H, halo, CF3, pentafluoroethyl, alkyl; Q = II-IV (wherein Z = NR12, S, O; R8-R11 = H, OH, halo, CN, etc.; R12 = H, alkyl); m = 1-3; n = 1-2; p = 0-3] and their pharmaceutically acceptable salts, useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, **sexual dysfunction** and related illnesses, were prepared Thus, reacting toluene-4-sulfonic acid [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl)methyl ester with cis-3-(1H-indol-3-yl)cyclopentylamine in DMSO afforded 18% N-[(cis)-3-(1H-indol-3-yl)cyclopentyl]-N-[(2S)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl)methyl]amine. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

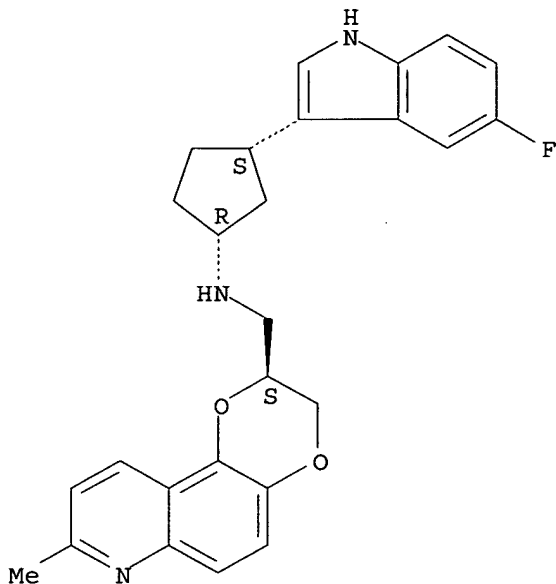
IT 675879-31-9P 675879-32-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of antidepressant cycloalkylamine derivs. of heterocycle-fused benzodioxans)

RN 675879-31-9 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 675879-32-0 HCAPLUS

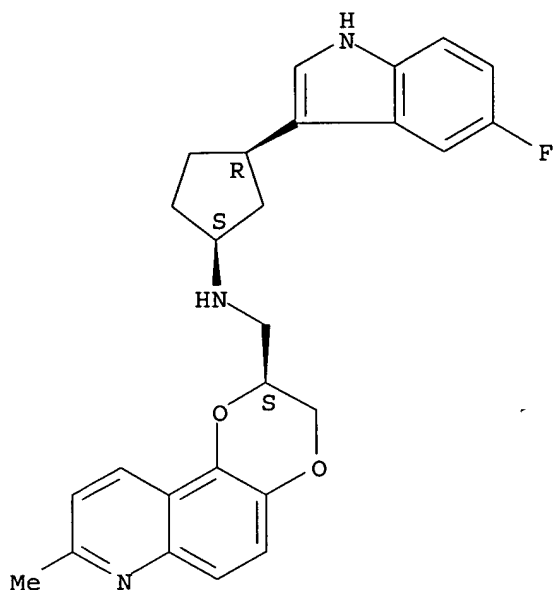
CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1S,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 675879-30-8

CMF C26 H26 F N3 O2

Absolute stereochemistry.

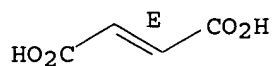


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



IT 675879-28-4P 675879-29-5P 675879-30-8P
 675879-33-1P 675879-34-2P 675879-35-3P
 675879-36-4P 675879-37-5P 675879-38-6P
 675879-39-7P 675879-40-0P 675879-41-1P
 675879-42-2P 675879-43-3P 675879-48-8P
 675879-49-9P 675879-50-2P 675879-52-4P
 675879-53-5P

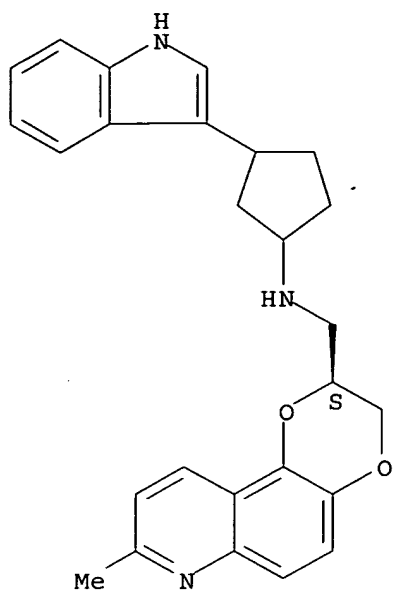
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of antidepressant cycloalkylamine derivs. of heterocycle-fused
 benzodioxans)

RN 675879-28-4 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, 2,3-dihydro-N-[3-(1H-indol-3-
 yl)cyclopentyl]-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



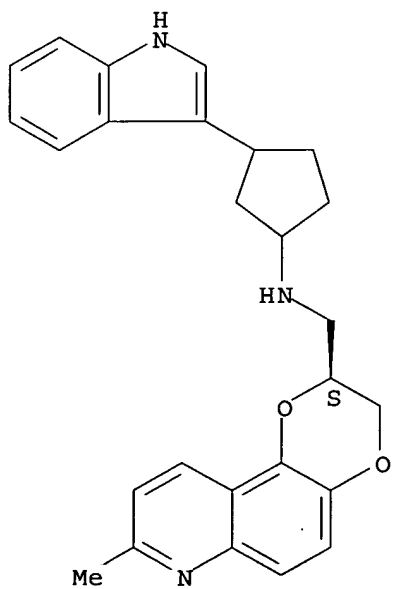
RN 675879-29-5 HCAPLUS
 CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, 2,3-dihydro-N-[3-(1H-indol-3-yl)cyclopentyl]-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 675879-28-4

CMF C26 H27 N3 O2

Absolute stereochemistry.

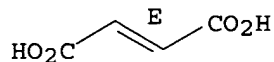


CM 2

CRN 110-17-8

CMF C4 H4 O4

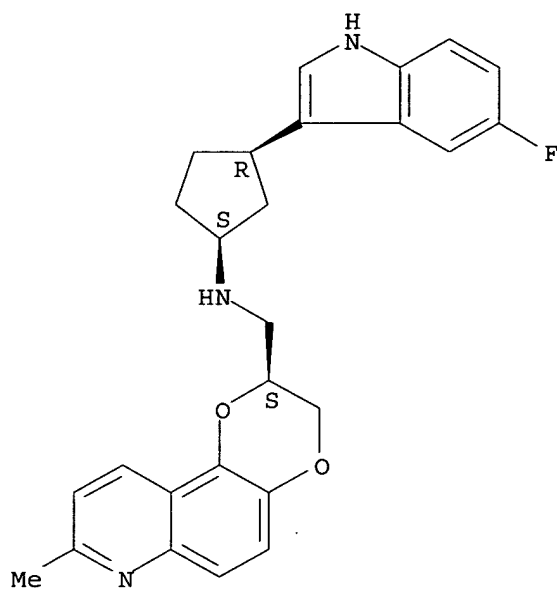
Double bond geometry as shown.



RN 675879-30-8 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1S,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 675879-33-1 HCAPLUS

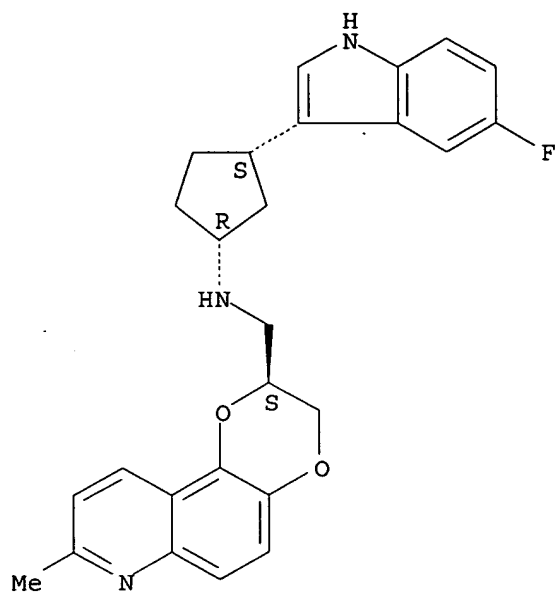
CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 675879-31-9

CMF C26 H26 F N3 O2

Absolute stereochemistry.

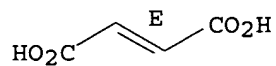


CM 2

CRN 110-17-8

CMF C4 H4 O4

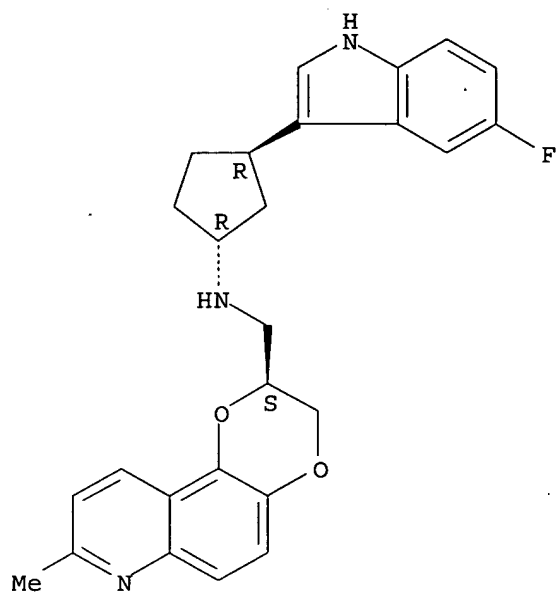
Double bond geometry as shown.



RN 675879-34-2 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1R,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

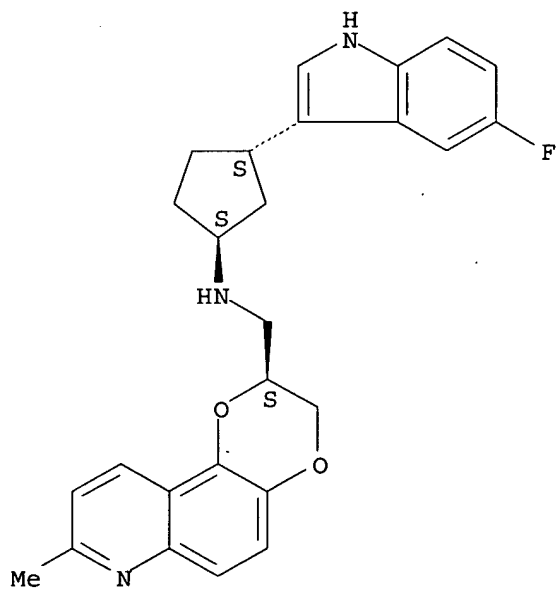
Absolute stereochemistry.



RN 675879-35-3 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1S,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



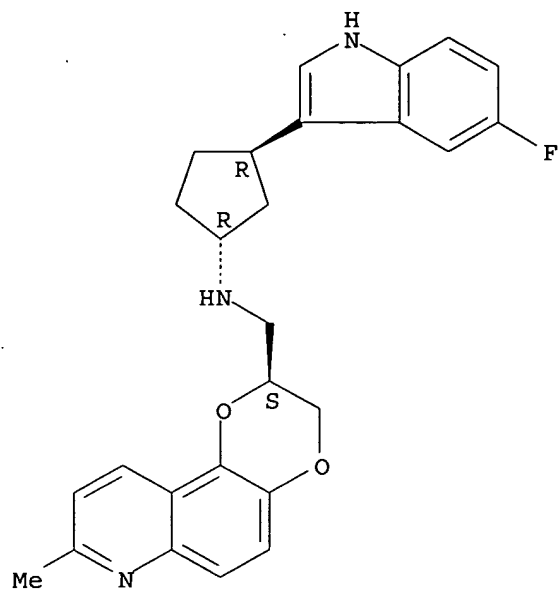
RN 675879-36-4 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1R,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 675879-34-2
CMF C26 H26 F N3 O2

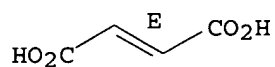
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

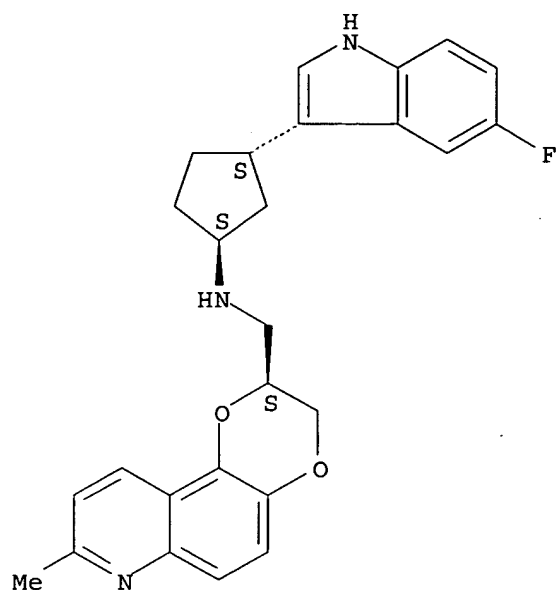


RN 675879-37-5 HCAPLUS
CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1S,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 675879-35-3
CMF C26 H26 F N3 O2

Absolute stereochemistry.

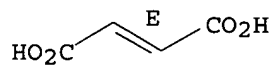


CM 2

CRN 110-17-8

CMF C4 H4 O4

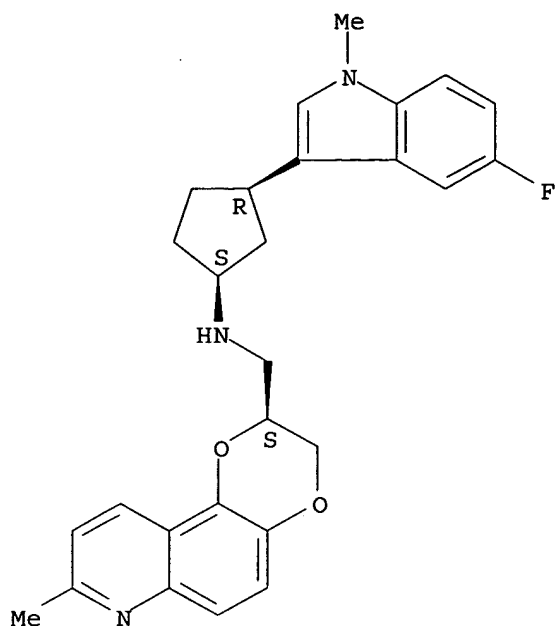
Double bond geometry as shown.



RN 675879-38-6 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1S,3R)-3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

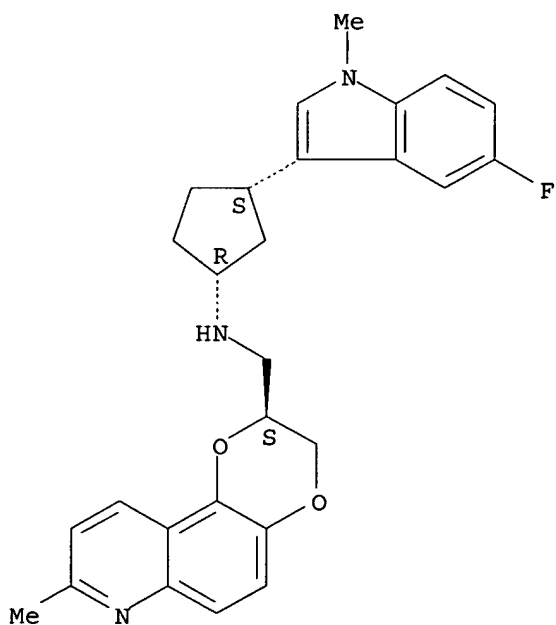
Absolute stereochemistry.



RN 675879-39-7 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 675879-40-0 HCAPLUS

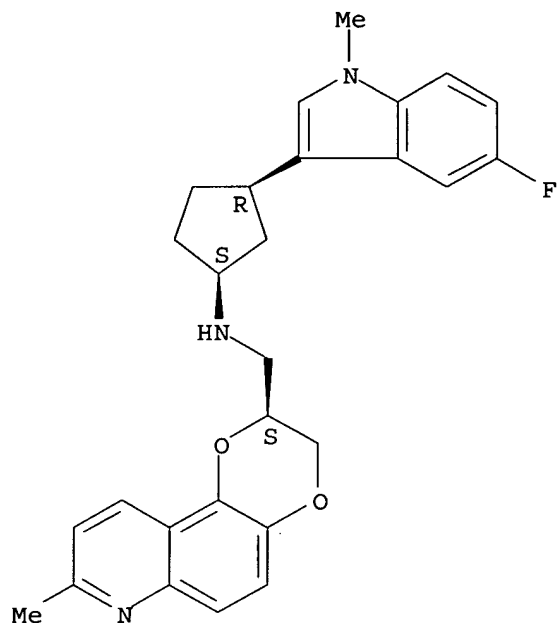
CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1S,3R)-3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 675879-38-6

CMF C27 H28 F N3 O2

Absolute stereochemistry.

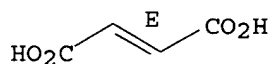


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



RN 675879-41-1 HCAPLUS

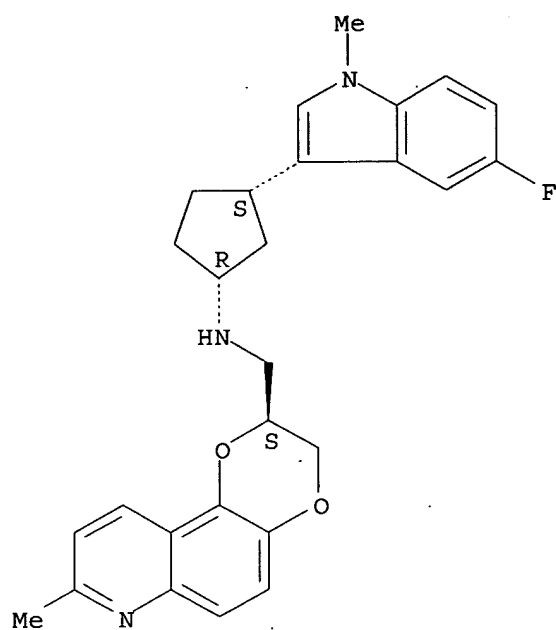
CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methyl-, (2S)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 675879-39-7

CMF C27 H28 F N3 O2

Absolute stereochemistry.

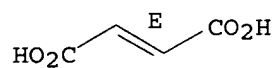


CM 2

CRN 110-17-8

CMF C4 H4 O4

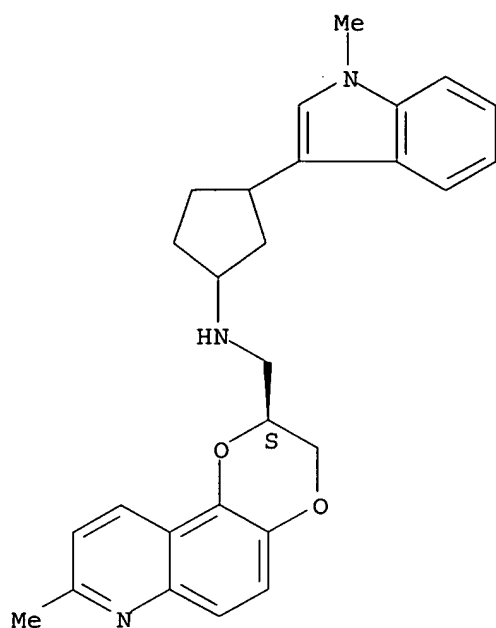
Double bond geometry as shown.



RN 675879-42-2 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, 2,3-dihydro-8-methyl-N-[3-(1-methyl-1H-indol-3-yl)cyclopentyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



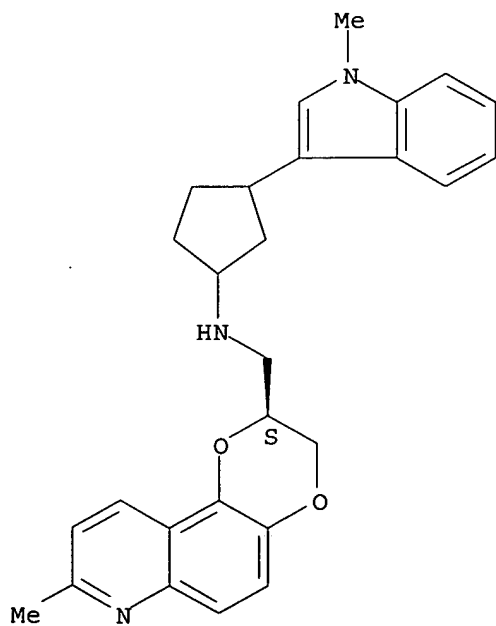
RN 675879-43-3 HCAPLUS
 CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, 2,3-dihydro-8-methyl-N-[3-(1-methyl-1H-indol-3-yl)cyclopentyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI)
 (CA INDEX NAME)

CM 1

CRN 675879-42-2

CMF C27 H29 N3 O2

Absolute stereochemistry.

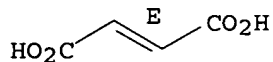


CM 2

CRN 110-17-8

CMF C4 H4 O4

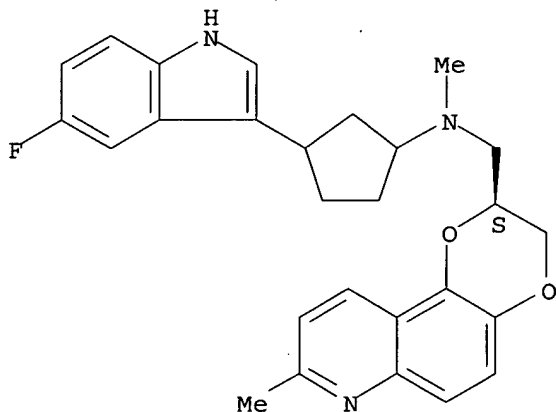
Double bond geometry as shown.



RN 675879-48-8 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-N,8-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 675879-49-9 HCAPLUS

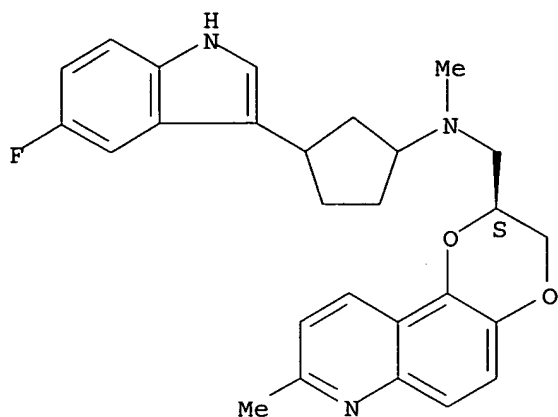
CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-N,8-dimethyl-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 675879-48-8

CMF C27 H28 F N3 O2

Absolute stereochemistry.

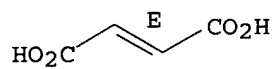


CM 2

CRN 110-17-8

CMF C4 H4 O4

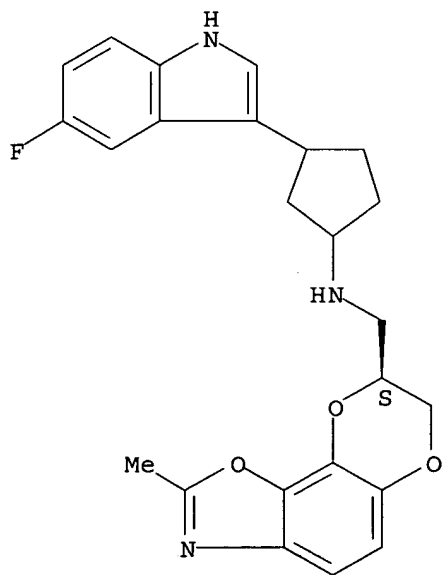
Double bond geometry as shown.



RN 675879-50-2 HCAPLUS

CN [1,4]Dioxino[2,3-g]benzoxazole-8-methanamine, N-[3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-7,8-dihydro-2-methyl-, (8S)- (9CI) (CA INDEX NAME)

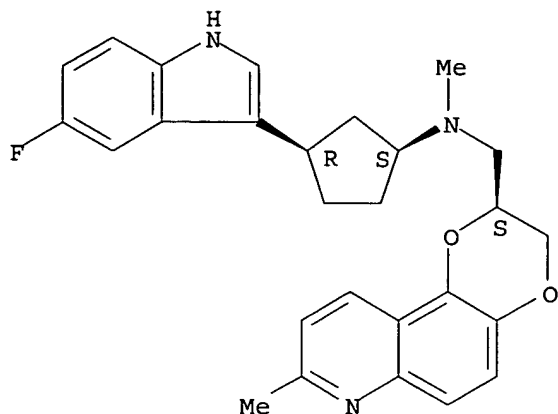
Absolute stereochemistry.



RN 675879-52-4 HCAPLUS

CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1S,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-N,8-dimethyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 675879-53-5 HCAPLUS

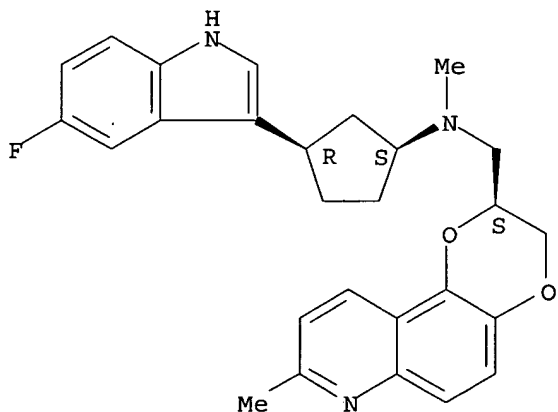
CN 1,4-Dioxino[2,3-f]quinoline-2-methanamine, N-[(1S,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-N,8-dimethyl-, (2S)-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 675879-52-4

CMF C27 H28 F N3 O2

Absolute stereochemistry.

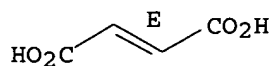


CM 2

CRN 110-17-8

CMF C4 H4 O4

Double bond geometry as shown.



IT 675831-67-1P 675831-68-2P 675831-69-3P
 675831-70-6P 675831-71-7P 675831-72-8P
 675831-73-9P 675831-74-0P 675879-56-8P
 675879-57-9P 675879-58-0P 675879-59-1P

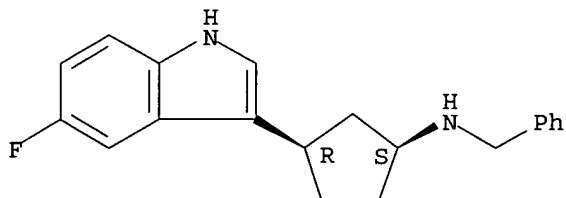
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antidepressant cycloalkylamine derivs. of heterocycle-fused benzodioxans)

RN 675831-67-1 HCAPLUS

CN Benzenemethanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-, rel- (9CI) (CA INDEX NAME)

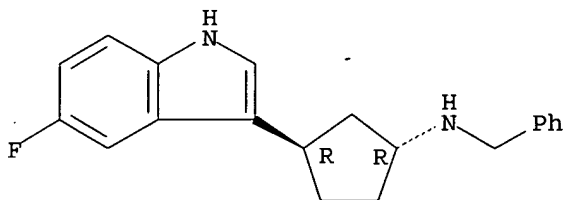
Relative stereochemistry.



RN 675831-68-2 HCAPLUS

CN Benzenemethanamine, N-[(1R,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-, rel- (9CI) (CA INDEX NAME)

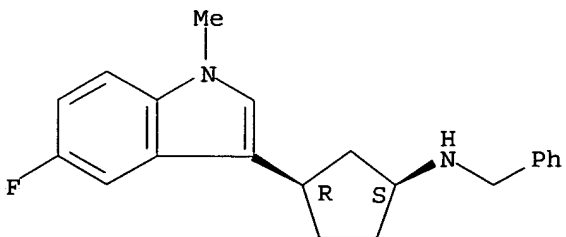
Relative stereochemistry.



RN 675831-69-3 HCAPLUS

CN Benzenemethanamine, N-[(1R,3S)-3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-, rel- (9CI) (CA INDEX NAME)

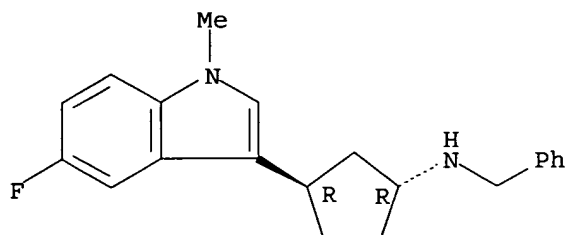
Relative stereochemistry.



RN 675831-70-6 HCAPLUS

CN Benzenemethanamine, N-[(1R,3R)-3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-, rel- (9CI) (CA INDEX NAME)

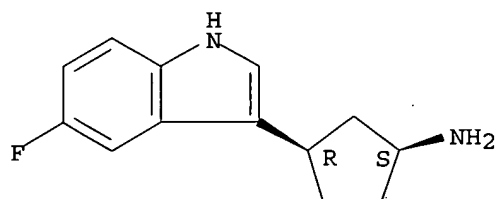
Relative stereochemistry.



RN 675831-71-7 HCAPLUS

CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

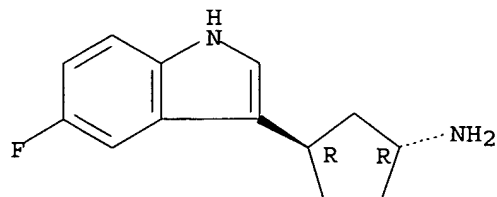
Relative stereochemistry.



RN 675831-72-8 HCAPLUS

CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

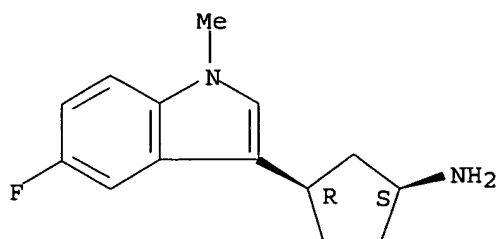
Relative stereochemistry.



RN 675831-73-9 HCAPLUS

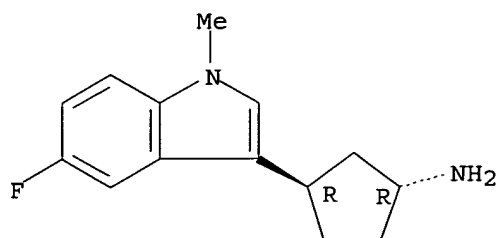
CN Cyclopentanamine, 3-(5-fluoro-1-methyl-1H-indol-3-yl)-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



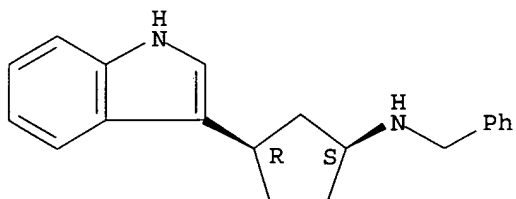
RN 675831-74-0 HCAPLUS
 CN Cyclopentylamine, 3-(5-fluoro-1-methyl-1H-indol-3-yl)-, (1R,3R)-rel- (9CI)
 (CA INDEX NAME)

Relative stereochemistry.



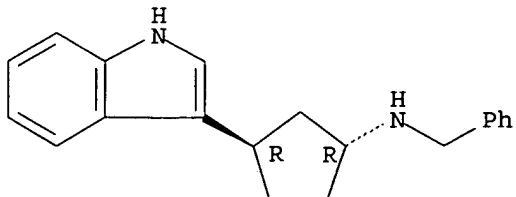
RN 675879-56-8 HCAPLUS
 CN Benzenemethanamine, N-[(1R,3S)-3-(1H-indol-3-yl)cyclopentyl]-, rel- (9CI)
 (CA INDEX NAME)

Relative stereochemistry.



RN 675879-57-9 HCAPLUS
 CN Benzenemethanamine, N-[(1R,3R)-3-(1H-indol-3-yl)cyclopentyl]-, rel- (9CI)
 (CA INDEX NAME)

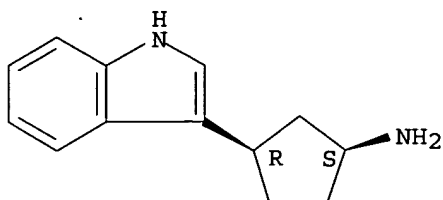
Relative stereochemistry.



RN 675879-58-0 HCAPLUS

CN Cyclopentanamine, 3-(1H-indol-3-yl)-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

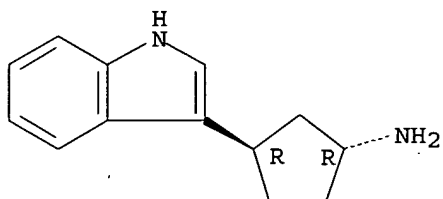
Relative stereochemistry.



RN 675879-59-1 HCAPLUS

CN Cyclopentanamine, 3-(1H-indol-3-yl)-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:252509 HCAPLUS

DOCUMENT NUMBER: 140:287394

TITLE: Preparation of antidepressant cycloalkylamine derivatives of 2,3-dihydro-1,4-benzodioxane

INVENTOR(S): Evrard, Deborah Ann; Shah, Uresh Shantilal; Stack, Gary Paul

PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

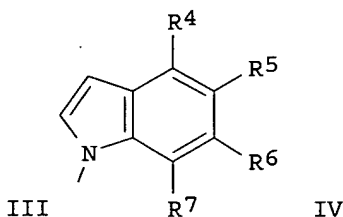
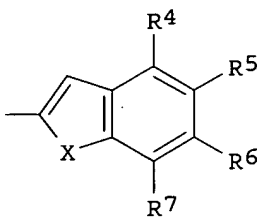
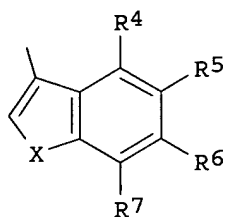
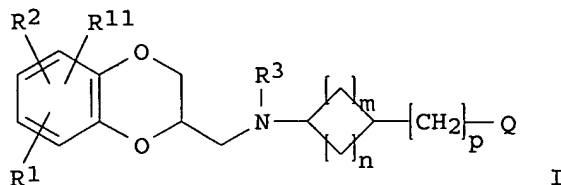
PATENT INFORMATION:

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WO 2004024723	A1	20040325	WO 2003-US28296	20030911
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 2004127543	A1	20040701	US 2003-659193	20030910
CA 2498010	AA	20040325	CA 2003-2498010	20030911

EP 1537103 A1 20050608 EP 2003-749557 20030911
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-410169P P 20020912
 US 2003-659193 A 20030910
 WO 2003-US28296 W 20030911

OTHER SOURCE(S): MARPAT 140:287394
 GI



AB The title compds. [I; R11, R1, R2 = H, halo, CN, carboxamido, etc.; R3 = H, alkyl; m = 1-3; n = 1-2; p = 0-3 (with the proviso that when p = 0, both m and n may not be 2); Q = II-IV (R4-R7 = H, halo, CN, etc.; X = NR8, O, S; R8 = H, alkyl)], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, **sexual dysfunction** and related illnesses, were prepared Thus, reacting [(2R)-8-methoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl 4-methylbenzenesulfonate with cis-3-(5-fluoro-1H-indol-3-yl)cyclopentylamine (preparation given) in DMSO afforded 48% N-[(cis)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-N-{[(2S)-8-methoxy-2,3-dihydro-1,4-benzodioxin-2-yl]methyl}amine. The latter was separated into two diastereoisomers and biol. data (5-HT transporter affinity, 5-HT1A receptor affinity, and antagonistic activity at 5-HT1A receptors were tested) were given for the mixture and both separated isomers. The pharmaceutical composition comprising the compound I is claimed.

IT 675831-47-7P 675831-48-8P 675831-49-9P
 675831-50-2P 675831-51-3P 675831-52-4P
 675831-53-5P 675831-54-6P 675831-55-7P
 675831-56-8P 675831-57-9P 675831-58-0P
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 675831-76-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

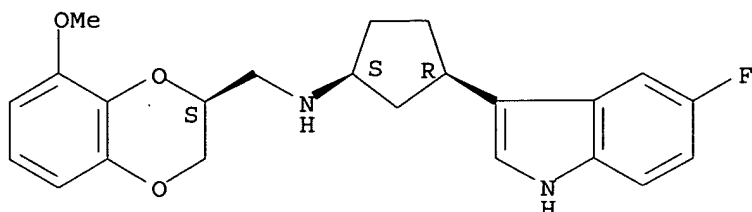
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of antidepressant cycloalkylamine derivs. of 2,3-dihydro-1,4-benzodioxane)

RN 675831-47-7 HCAPLUS

CN 1,4-Benzodioxin-2-methanamine, N-[(1S,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (9CI) (CA INDEX NAME)

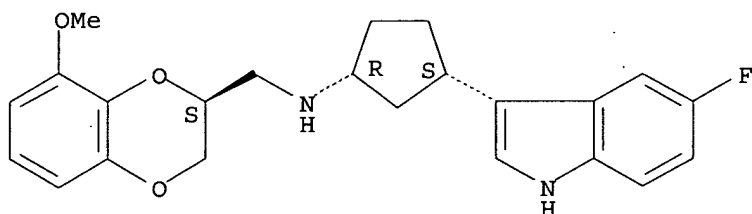
Absolute stereochemistry. Rotation (-).



RN 675831-48-8 HCAPLUS

CN 1,4-Benzodioxin-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (9CI) (CA INDEX NAME)

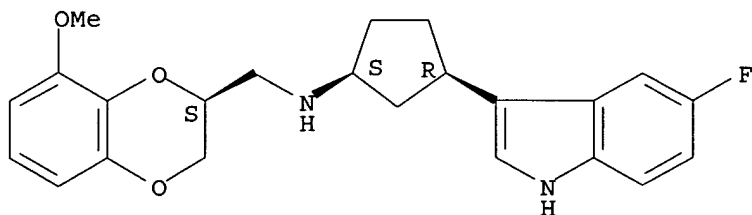
Absolute stereochemistry. Rotation (-).



RN 675831-49-9 HCAPLUS

CN 1,4-Benzodioxin-2-methanamine, N-[(1S,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



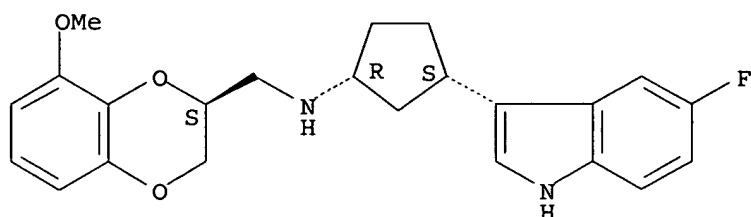
● HCl

RN 675831-50-2 HCAPLUS

CN 1,4-Benzodioxin-2-methanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, monohydrochloride, (2S)- (9CI)

(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

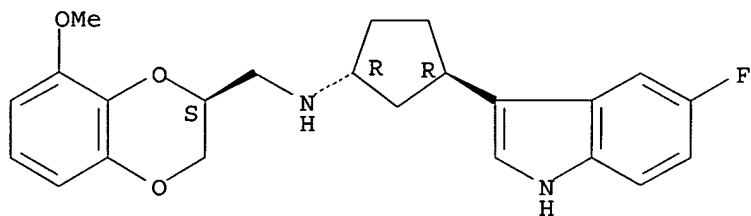


● HCl

RN 675831-51-3 HCAPLUS

CN 1,4-Benzodioxin-2-methanamine, N-[(1R,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (9CI) (CA INDEX NAME)

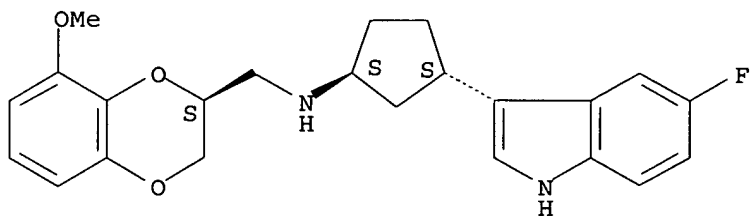
Absolute stereochemistry. Rotation (-).



RN 675831-52-4 HCAPLUS

CN 1,4-Benzodioxin-2-methanamine, N-[(1S,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (9CI) (CA INDEX NAME)

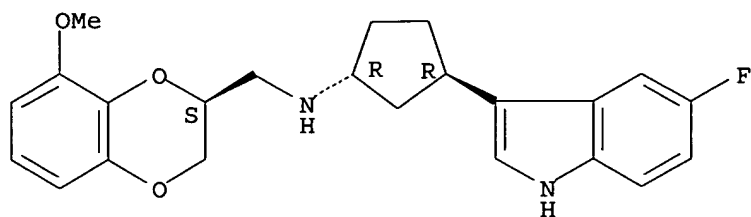
Absolute stereochemistry.



RN 675831-53-5 HCAPLUS

CN 1,4-Benzodioxin-2-methanamine, N-[(1R,3R)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



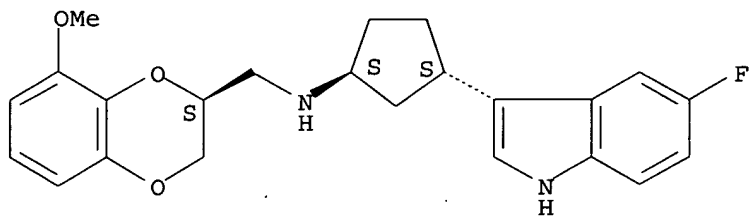
●x HCl

RN 675831-54-6 HCAPLUS
 CN 1,4-Benzodioxin-2-methanamine, N-[(1S,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)-, (2E)-2-butenedioate (9CI)
 (CA INDEX NAME)

CM 1

CRN 675831-52-4
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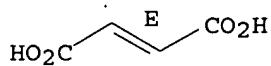
Absolute stereochemistry.



CM 2

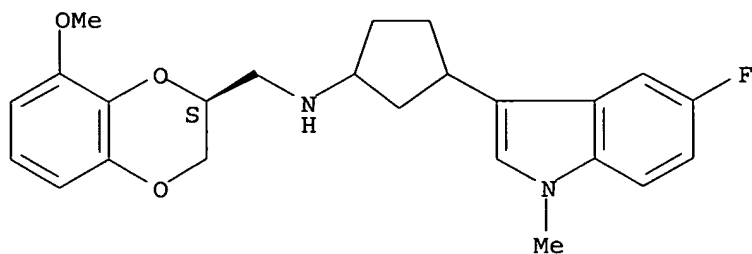
CRN 110-17-8
 CMF C4 H4 O4

Double bond geometry as shown.



RN 675831-55-7 HCAPLUS
 CN 1,4-Benzodioxin-2-methanamine, N-[3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, monohydrochloride, (2S)- (9CI)
 (CA INDEX NAME)

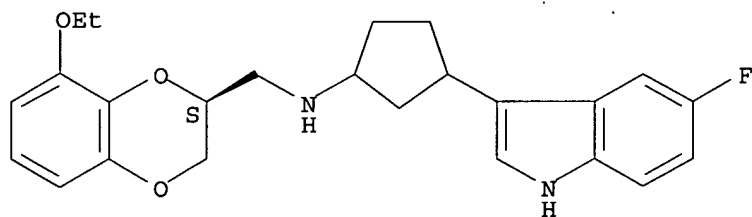
Absolute stereochemistry.



● HCl

RN 675831-56-8 HCAPLUS
 CN 1,4-Benzodioxin-2-methanamine, 8-ethoxy-N-[3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

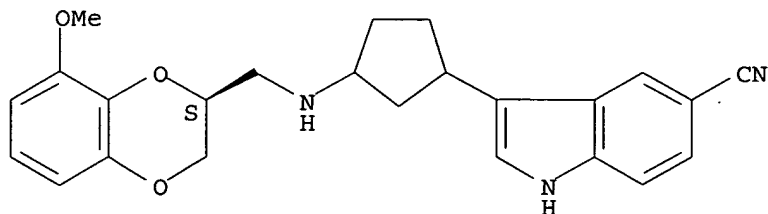
Absolute stereochemistry.



● HCl

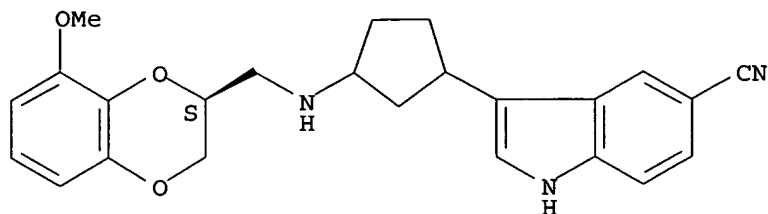
RN 675831-57-9 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[3-[[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 675831-58-0 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[3-[[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]amino]cyclopentyl]-, monohydrochloride (9CI) (CA INDEX NAME)

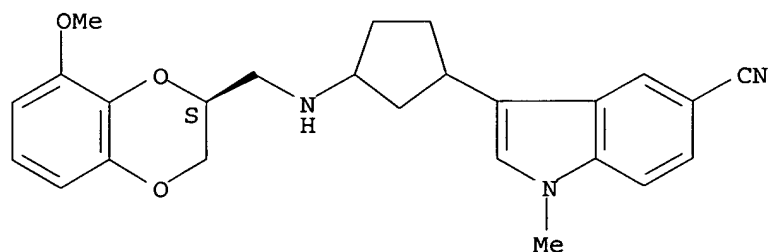
Absolute stereochemistry.



● HCl

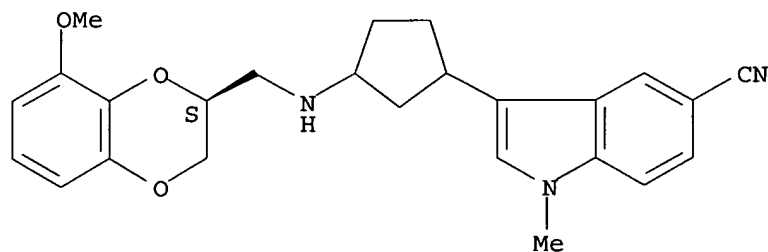
RN 675831-59-1 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[3-[[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]amino]cyclopentyl]-1-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 675831-60-4 HCAPLUS
 CN 1H-Indole-5-carbonitrile, 3-[3-[[[(2S)-2,3-dihydro-8-methoxy-1,4-benzodioxin-2-yl]methyl]amino]cyclopentyl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

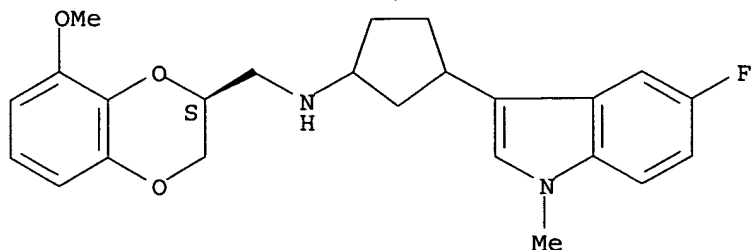
Absolute stereochemistry.



● HCl

RN 675831-75-1 HCAPLUS
 CN 1,4-Benzodioxin-2-methanamine, N-[3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-8-methoxy-, (2S)- (9CI) (CA INDEX NAME)

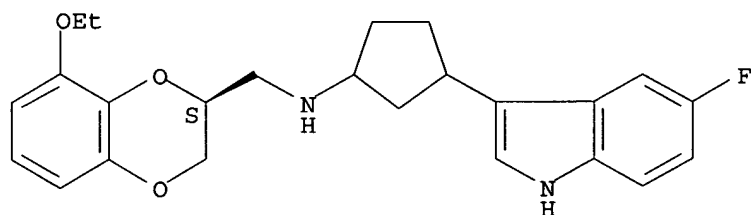
Absolute stereochemistry.



RN 675831-76-2 HCAPLUS

CN 1,4-Benzodioxin-2-methanamine, 8-ethoxy-N-[3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-2,3-dihydro-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 675831-67-1P 675831-68-2P 675831-69-3P

675831-70-6P 675831-71-7P 675831-72-8P

675831-73-9P 675831-74-0P

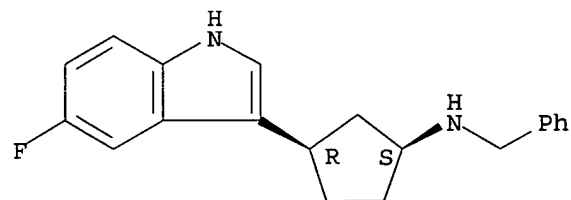
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of antidepressant cycloalkylamine derivs. of 2,3-dihydro-1,4-benzodioxane)

RN 675831-67-1 HCAPLUS

CN Benzenemethanamine, N-[(1R,3S)-3-(5-fluoro-1H-indol-3-yl)cyclopentyl]-, rel- (9CI) (CA INDEX NAME)

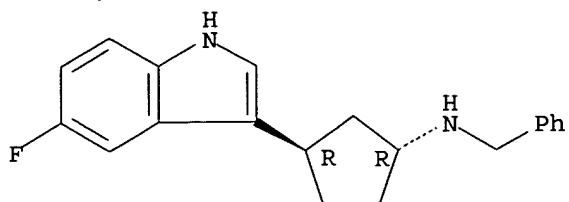
Relative stereochemistry.



RN 675831-68-2 HCAPLUS

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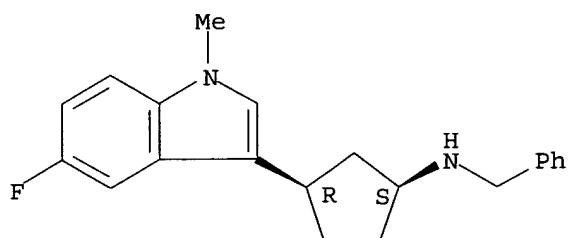
Relative stereochemistry.



RN 675831-69-3 HCAPLUS

CN Benzenemethanamine, N-[(1R,3S)-3-(5-fluoro-1-methyl-1H-indol-3-yl)cyclopentyl]-, rel- (9CI) (CA INDEX NAME)

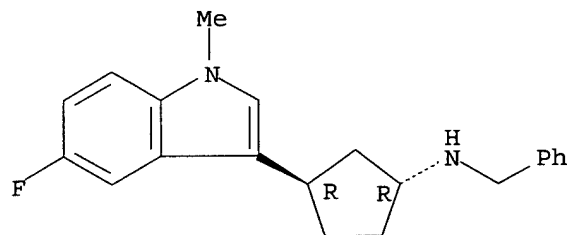
Relative stereochemistry.



RN 675831-70-6 HCAPLUS

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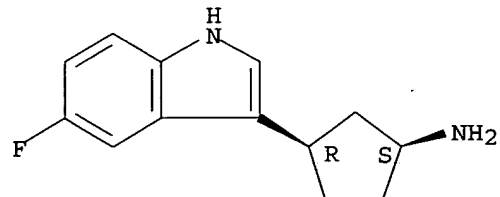
Relative stereochemistry.



RN 675831-71-7 HCAPLUS

CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

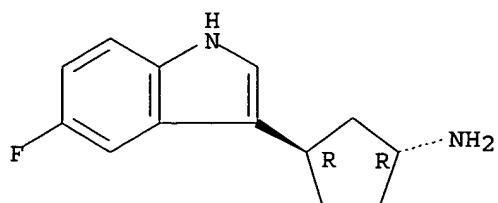
Relative stereochemistry.



RN 675831-72-8 HCAPLUS

CN Cyclopentanamine, 3-(5-fluoro-1H-indol-3-yl)-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

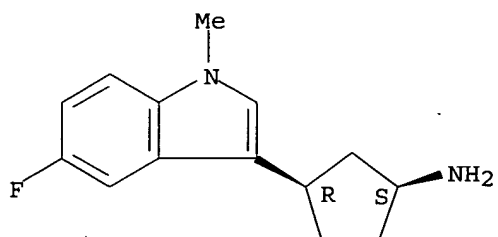
Relative stereochemistry.



RN 675831-73-9 HCAPLUS

CN Cyclopentanamine, 3-(5-fluoro-1-methyl-1H-indol-3-yl)-, (1R,3S)-rel- (9CI) (CA INDEX NAME)

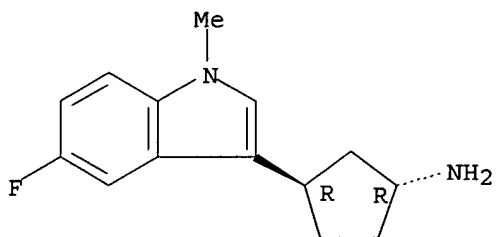
Relative stereochemistry.



RN 675831-74-0 HCAPLUS

CN Cyclopentanamine, 3-(5-fluoro-1-methyl-1H-indol-3-yl)-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

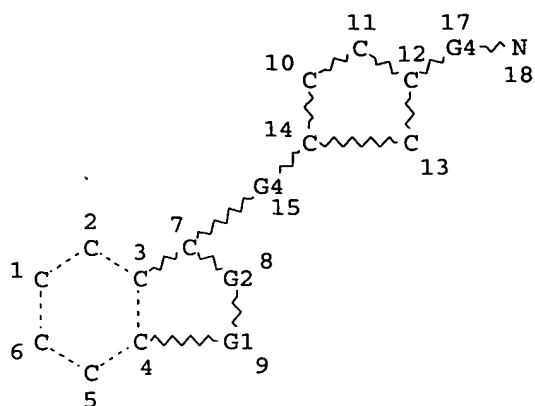


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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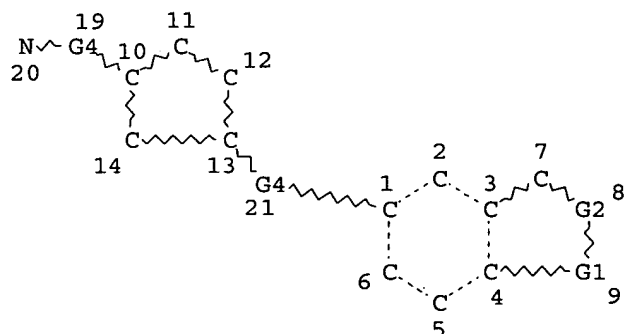
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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 NUMBER OF NODES IS 17

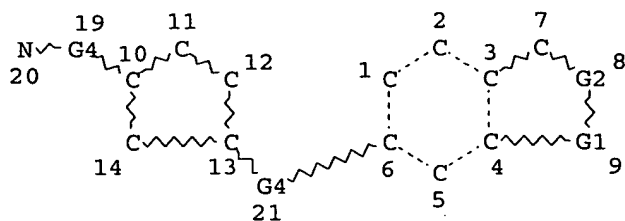
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 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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STEREO ATTRIBUTES: NONE
 L3 STR



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 NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

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 L6 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L5
 L7 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND PD=<SEPTEMBER 18, 2002
 L8 11028 SEA FILE=HCAPLUS ABB=ON PLU=ON ("PREMATURE EJACULATION"/CV
 OR "SEXUAL BEHAVIOR (L) PREMATURE EJACULATION"/CV) OR PREMATURE
 (A) EJACULAT? OR SEXUAL(W) (DYSFUNCTION OR BEHAVIOR) OR ?IMPOTEN?
 L9 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND L8
 L11 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L7 NOT L9

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L11 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2002:675836 HCAPLUS
 DOCUMENT NUMBER: 137:201337
 TITLE: Methods for treatment of inflammatory bowel disease
 and preparation of indenylacetamides for said
 treatment
 INVENTOR(S): Earle, Keith A.; Alila, Hector W.; Whitehead, Clark M.
 PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
 SOURCE: PCT Int. Appl., 64 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002067936	A1	20020906	WO 2002-US4831	20020220 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,
 HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
 VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 6699894 B1 20040302 US 2002-252286 20020923

PRIORITY APPLN. INFO.: US 2001-789848 A 20010221

OTHER SOURCE(S): MARPAT 137:201337

AB Claimed is a method of treating inflammatory bowel disease in a mammal with that disease comprising administering to the mammal a physiologically effective amount of an inhibitor of both PDE2 and PDE5.
 (Z)-5-Fluoro-2-methyl-(4-pyridylidene)-3-(N-benzyl)indenylacetamide hydrochloride (I) was prepared. I had an IC₅₀ value of 14 μ M for PDE2 and IC₅₀ value of 4 μ M for PDE5. The inflammatory bowel disease in humans is quite similar to the inflammatory bowel disease (IBD) in dogs. In fact, the IBD treatments in dogs are very similar to those in humans, and the success rates are similarly disappointing; the number of dogs with IBD is estimated to be in the millions in the U.S. Hence for proof of principle for both humans and animals, the authors commenced a trial involving I in seven dogs. A female 10 1/2 yr-old English sheepdog was near death after a 4-mo history of severe IBD. During 6 wk of combined conventional therapy and I (800 mg bid) followed by 6 wk of I (800 mg bid) alone, the dog steadily improved and became clinically normal.

IT 454452-39-2P 454452-41-6P

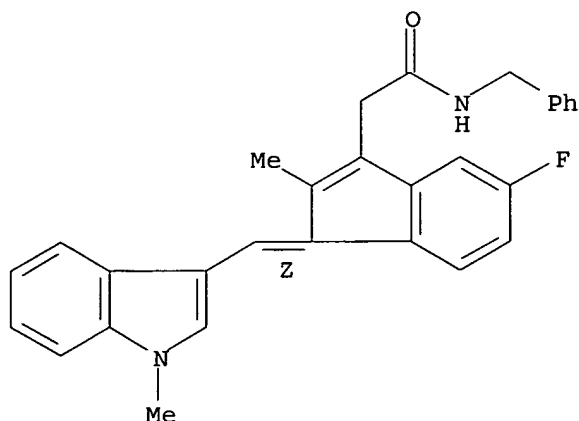
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target product; methods for treatment of inflammatory bowel disease and preparation of indenylacetamides for said treatment in both human and veterinary medicine)

RN 454452-39-2 HCAPLUS

CN 1H-Indene-3-acetamide, 5-fluoro-2-methyl-1-[(1-methyl-1H-indol-3-yl)methylene]-N-(phenylmethyl)-, (1Z)- (9CI) (CA INDEX NAME)

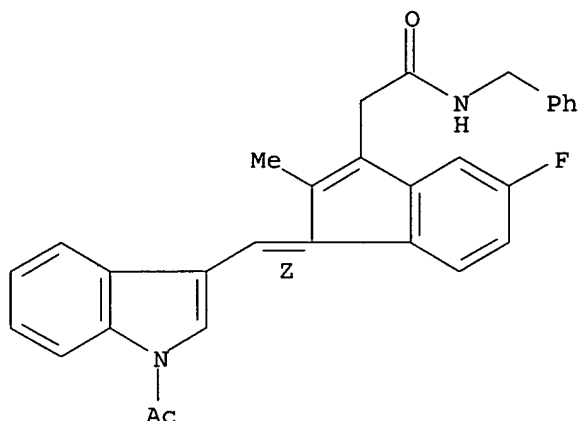
Double bond geometry as described by E or Z.



RN 454452-41-6 HCAPLUS

CN 1H-Indene-3-acetamide, 1-[(1-acetyl-1H-indol-3-yl)methylene]-5-fluoro-2-methyl-N-(phenylmethyl)-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:332769 HCAPLUS

DOCUMENT NUMBER: 137:62901

TITLE: Sequential One-Pot InBr3-Catalyzed 1,4- then 1,2-Nucleophilic Addition to Enones

AUTHOR(S): Bandini, Marco; Cozzi, Pier Giorgio; Giacomini, Massimo; Melchiorre, Paolo; Selva, Simona; Umani-Ronchi, Achille

CORPORATE SOURCE: Dipartimento di Chimica "G. Ciamician", Universita degli Studi di Bologna, Bologna, 40126, Italy

SOURCE: Journal of Organic Chemistry (2002), 67(11), 3700-3704

CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:62901

AB Low sensitivity toward traces of moisture and high tolerance of different functional groups make indium tribromide suitable for carrying out multistep synthetic sequences. In particular, the authors have realized a 1,4-conjugated addition of indoles/thiols to α,β -unsatd. ketones mediated by a catalytic amount (10 mol %) of InBr₃ obtaining the desired β -substituted ketones in good yields. The Lewis acidity of indium salts was not affected by coordinating and acid nucleophiles; therefore, the subsequent catalytic 1,2-addition of Me₃SiCN to carbonyl compds. can be performed in one pot. With the optimized atom-efficient protocol, several polyfunctionalized α -silyloxy cyanohydrins were synthesized in good to excellent yields (up to 97%) and a notable level of simple 1,3-diastereoselection (up to 84:16) was recorded in the case of 2-cyclohexen-1-one.

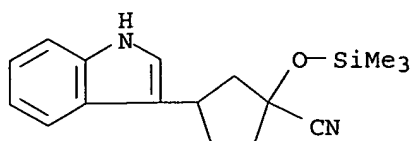
IT 439117-74-5P 439117-78-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(sequential one-pot InBr₃-catalyzed 1,4- then 1,2-nucleophilic addition to enones)

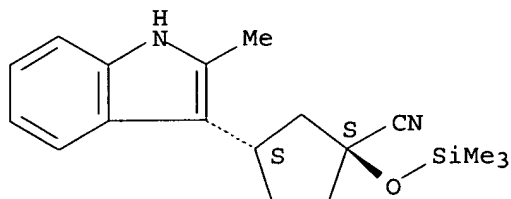
RN 439117-74-5 HCAPLUS

CN Cyclopentanecarbonitrile, 3-(1H-indol-3-yl)-1-[(trimethylsilyl)oxy]- (9CI) (CA INDEX NAME)



RN 439117-78-9 HCAPLUS
 CN Cyclopentanecarbonitrile, 3-(2-methyl-1H-indol-3-yl)-1-
 [(trimethylsilyl)oxy]-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1994:134426 HCAPLUS

DOCUMENT NUMBER: 120:134426

TITLE: A novel route to isoannulated heteroaromatic
 compounds. 1. Synthesis and reactions of furofurans,
 thienofurans, furobenzofurans, and benzothienofurans
 AUTHOR(S): Eberbach, Wolfgang; Laber, Norbert; Bussenius, Joerg;
 Fritz, Hans; Ribs, Greta
 CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Freiburg, Freiburg,
 W-7800, Germany
 SOURCE: Chemische Berichte (1993), 126(4), 975-95
 CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 120:134426

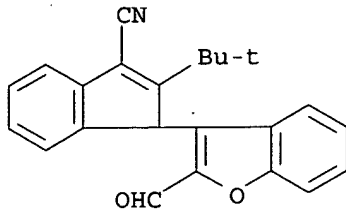
AB A general method for the preparation of furo- and thienofurans was developed.
 The reaction principle is based on the thermal transformation of suitably
 structured epoxyhexenyne following an annulation sequence. Derivs. of
 new diheteropentalenes furo[3,4-b]furan, furo[3,4-b]benzofuran as well as
 benzo[4,5]thieno-[2,3-c]furan were obtained by short-time thermolysis.
 Likewise 2 representatives of the previously reported thieno[2,3-c]furan
 systems were prepared. By flash vacuum thermolysis the benzo-annulated
 epoxyhexenyne undergoes a rearrangement to an isobenzofuran (di-Me
 acetylenedicarboxylate adduct). A mechanistic explanation of the
 transformation of the epoxyhexenyne to diheteropentalenes is proposed.
 The Diels-Alder reactivity of some furo- and thienofurans was examined

IT 152874-66-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 152874-66-3 HCAPLUS

CN 1H-Indene-3-carbonitrile, 2-(1,1-dimethylethyl)-1-(2-formyl-3-
 benzofuranyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:153946 HCAPLUS

DOCUMENT NUMBER: 114:153946

TITLE: Tetraindolylheptamethine derivatives

INVENTOR(S): Berneth, Horst; Psaar, Hubertus; Klug, Guenter

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 52 pp.

CODEN: GWXXBX

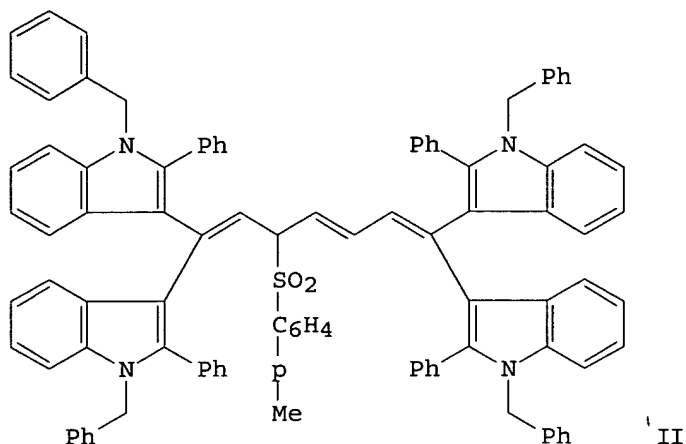
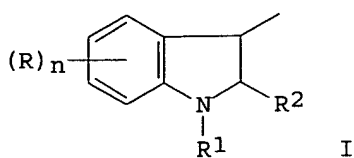
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3833997	A1	19900412	DE 1988-3833997	19881006 <--
EP 370198	A1	19900530	EP 1989-117618	19890923 <--
R: CH, DE, FR, GB, IT, LI, NL				
US 5118814	A	19920602	US 1989-414060	19890928 <--
JP 02164865	A2	19900625	JP 1989-260397	19891006 <--
PRIORITY APPLN. INFO.:			DE 1988-3833997	A 19881006
OTHER SOURCE(S):	MARPAT 114:153946			
GI				



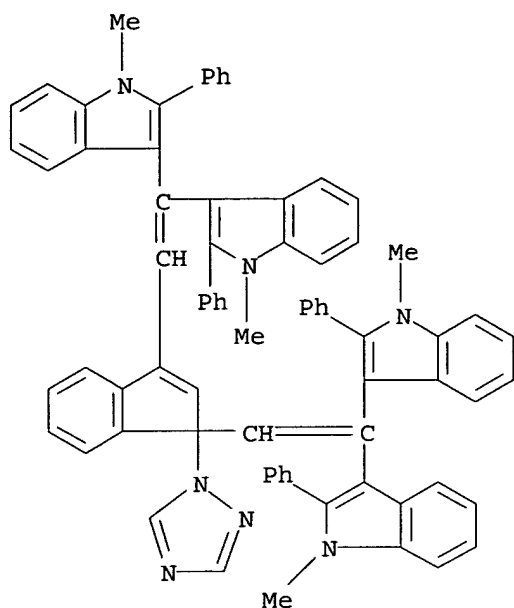
AB The title compds. of the formula ABC:CT1CT2QCT3:CT4CT5:CDE and 3 other isomers obtained by placing Q at the 1, 5, and 7 position instead of 3 position are claimed where A,B,D,E = I [R1 = H, alkyl, alkenyl, cycloalkyl, aralkyl, etc.; R2 = R1, aryl; R = R2, etc.; T1-T2 = R1, halogen, alkoxy, etc.; Q = NA1A2, SA3, SO2X, CZY1Y2; A1, A2 A3 = H, alkyl, alkenyl, cycloalkyl etc.; X = alkyl, alkenyl, cycloalkyl; Z = H, X, halogen; Y1, Y2 = COZ1, CN, SO2Z1, NO2, aryl heterocyclyl; Z1 = H, X, etc.; n = 1 or 2. The above compds. are useful as leuco dyes in pressure or thermal recording materials. Thus, II was prepared and used in a copying paper.

IT 131051-11-1P 131051-12-2P 131051-13-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and use of, in pressure and thermal recording)

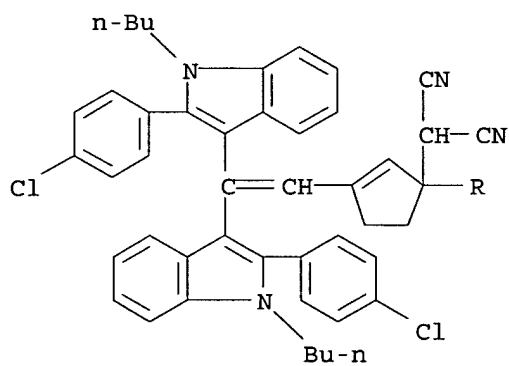
RN 131051-11-1 HCAPLUS

CN 1H-Indole, 3,3',3'',3'''-[1-(1H-1,2,4-triazol-1-yl)-1H-indene-1,3-diyl]di-2-ethenyl-1-ylidene]tetrakis[1-methyl-2-phenyl- (9CI) (CA INDEX NAME)

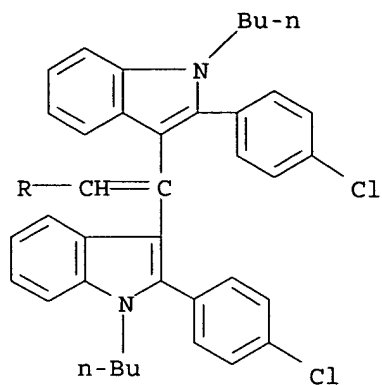


RN 131051-12-2 HCAPLUS
 CN Propanedinitrile, [1,3-bis[2,2-bis[1-butyl-2-(4-chlorophenyl)-1H-indol-3-yl]ethenyl]-2-cyclopenten-1-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A

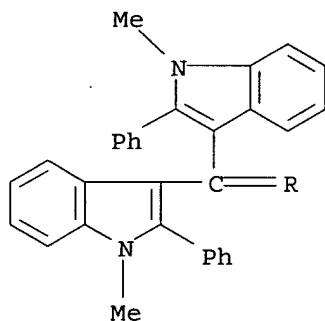


PAGE 2-A

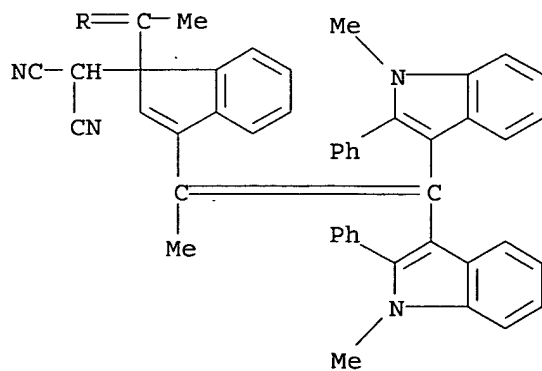


RN 131051-13-3 HCAPLUS
 CN Propanedinitrile, [1,3-bis[1-methyl-2,2-bis(1-methyl-2-phenyl-1H-indol-3-yl)ethenyl]-1H-inden-1-yl]- (9CI) (CA INDEX NAME)

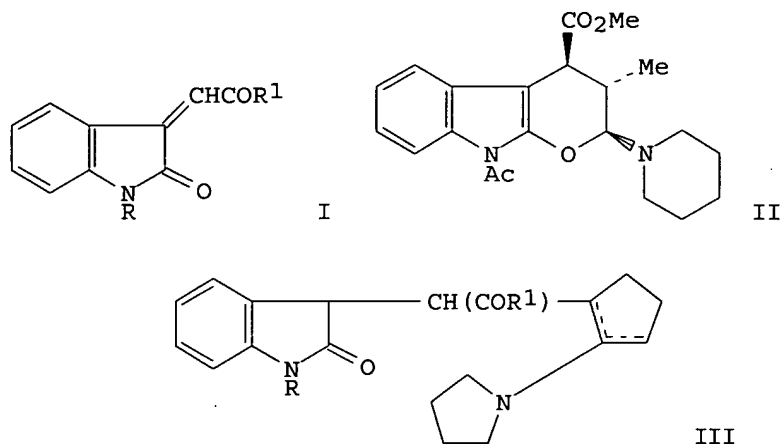
PAGE 1-A



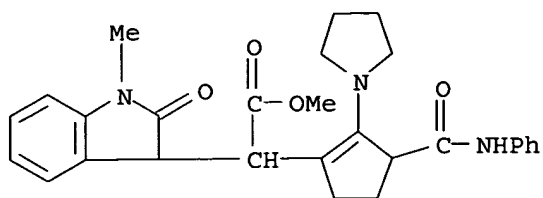
PAGE 2-A



ACCESSION NUMBER: 1977:89515 HCAPLUS
 DOCUMENT NUMBER: 86:89515
 TITLE: Heterodiene synthesis. Part XVII. Reactions of 2-oxoindolin-3-ylidene derivatives with enamines: a Michael path as an alternative to 1,2- and 1,4-cycloadditions
 AUTHOR(S): Tacconi, Gianfranco; Invernizzi, Anna G.; Desimoni, Giovanni
 CORPORATE SOURCE: Ist. Chim. Org., Univ. Pavia, Pavia, Italy
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1976), (17), 1872-9
 CODEN: JCPRB4; ISSN: 0300-922X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

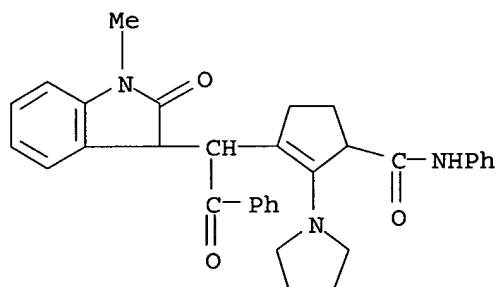


AB The reactions of enamines with oxoindolinylideneacetates I (R = Ac, Me, R1 = OMe; R = CH₂Ph, R1 = OMe, OEt) and -acetophenones I (R = Ac, Me, CH₂Ph, R1 = Ph) were studied. With enamines derived from aldehydes, the former gave either 1,2 or 1,4-cycloaddn. products, as previously reported (T., 1971) for the latter. Thus I (R = Ac, R1 = OMe) with MeCH:CHNR1R2 (NR1R2 = piperidino) gave 95% 1,4-cycloadduct II. However, both substrates gave only Michael-type adducts with enamines derived from cyclopentanone. Thus I (R = Ac, R1 = OMe, Ph) with 1-pyrrolidinocyclopentene gave 73-5% adducts III. The mechanism is discussed in terms of both frontier orbital interaction and stabilized dipolar intermediates.
 IT 61937-28-8P 61937-29-9P 61937-30-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and hydrolysis of)
 RN 61937-28-8 HCAPLUS
 CN 1H-Indole-3-acetic acid, 2,3-dihydro-1-methyl-2-oxo- α -[3-[(phenylamino)carbonyl]-2-(1-pyrrolidinyl)-1-cyclopenten-1-yl]-, methyl ester (9CI) (CA INDEX NAME)



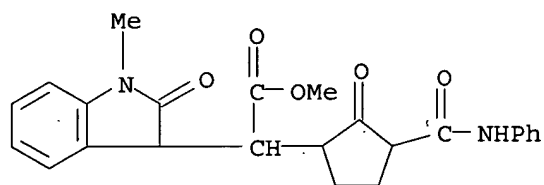
RN 61937-29-9 HCAPLUS

CN 2-Cyclopentene-1-carboxamide, 3-[1-(2,3-dihydro-1-methyl-2-oxo-1H-indol-3-yl)-2-oxo-2-phenylethyl]-N-phenyl-2-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



RN 61937-30-2 HCAPLUS

CN 1H-Indole-3-acetic acid, 2,3-dihydro-1-methyl-2-oxo-α-[2-oxo-3-[(phenylamino)carbonyl]cyclopentyl]-, methyl ester (9CI) (CA INDEX NAME)

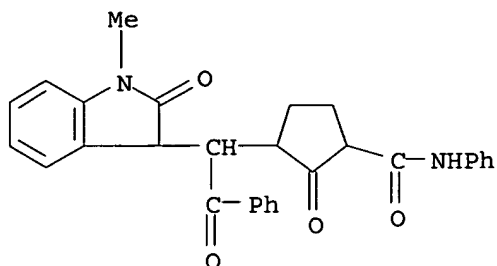


IT 61937-36-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, decarboxylation, and cyclodehydration of)

RN 61937-36-8 HCAPLUS

CN Cyclopentanecarboxamide, 3-[1-(2,3-dihydro-1-methyl-2-oxo-1H-indol-3-yl)-2-oxo-2-phenylethyl]-2-oxo-N-phenyl- (9CI) (CA INDEX NAME)



L11 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1969:403199 HCAPLUS

DOCUMENT NUMBER: 71:3199

TITLE: Alkylation reactions of Mannich bases in aqueous medium. III. Reactions of gramine

AUTHOR(S): Kamal, Ahmad; Anjum, Musarrat; Aziz, Suraiya; Asadullah

CORPORATE SOURCE: Pakistan Coun. Sci. Ind. Res., Karachi, Pak.

SOURCE: Pakistan Journal of Scientific and Industrial Research (1966), 9(4), 323-5

CODEN: PSIRAA; ISSN: 0030-9885

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

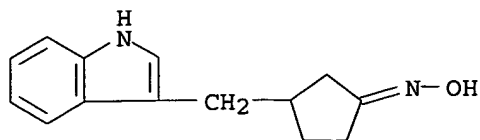
AB The compds. obtained from reactions of gramine (I) with indole, 2-methylindole, pyrrole, pyrrolidine, piperidine, piperazine, isatin, cyclopentanone, and cyclohexanone are described. The resp. reaction products are (compound, m.p., yield, ir absorption bands for >NH unless indicated, uv absorption bands in 95% EtOH at λ_{maximum} and min. in μ with log ϵ in parentheses, given): diindolylmethane, 158°, 82%, 3390 cm^{-1} , λ_{maximum} 282 (4.25) and 290 (4.18), min. 247 (3.73) and 287 (3.4); β -(2-methylskatyl)indole, 137-8°, 83.6%, 3390 cm^{-1} , λ_{maximum} 247 (3.95), min. 281 (4.59); α, α' -diskatylpyrrole, 162°, 61.5%, 3348 cm^{-1} , λ_{maximum} 281 (4.24) and 289 (4.02), min. 24 (3.89) and 287 (3.91); N-skatylpyrrolidine, 125°, 77% -, λ_{maximum} 279 (3.95) and 289 (3.88), min. 238 (3.30) and 283 (3.8); N-skatylpiperidine, 164°, 92%, -, λ_{maximum} 280 (4.2) and 288 (4.0), min. 241 (3.7) and 285 (4.1); N,N'-diskatylpiperazine, 222°, 47.6%, -, λ_{maximum} 280 (4.29) and 288 (4.23), min. 241 (3.51) and 286 (4.17); N-skatylisatin, 150-1°, 41%, 3333 cm^{-1} and 1724 cm^{-1} (>CO), λ_{maximum} 245 (4.18), 281 (3.71) and 287 (3.70), min. 232 (3.98), 250 (4.13), 260 (3.64), and 284 (3.66); 2-skatylcyclopentanone, - [b1 160°, $[\mu]_{20^\circ}$ 1.5910 (oxime m. 156°)], 67.5%, 3401 cm^{-1} and 3268 cm^{-1} (-OH), λ_{maximum} 282 (4.01) and 290 (3.95), min. 288 (3.93) and 250 (3.63); 2-skatylcyclohexanone, - [b1 140°, $[\mu]_{20^\circ}$ 1.5618 (oxime m. 208°)], 76%, 3436 cm^{-1} and 3215 cm^{-1} (-OH), λ_{maximum} 290 (3.88) and 282 (3.94), min. 287 (3.82) and 251 (3.44). The general method for preparing I derivs. comprised heating the reactants in water and isolating the products with AcOEt if oily and by filtration and crystallization from an appropriate solvent if solid.

IT 22546-16-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

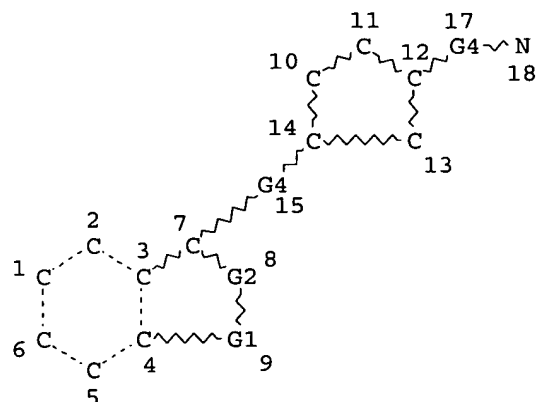
RN 22546-16-3 HCAPLUS

CN Cyclopentanone, 2-(indol-3-ylmethyl)-, oxime (8CI) (CA INDEX NAME)



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=>

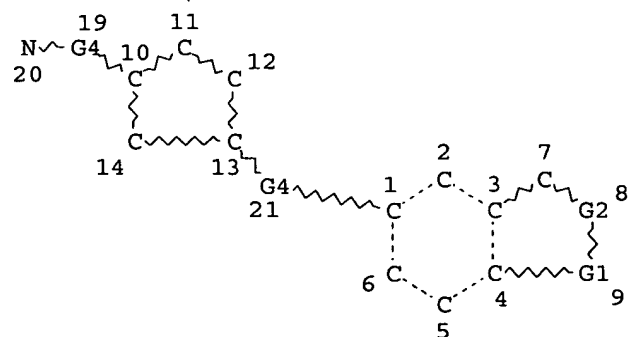
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L1 STR



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NUMBER OF NODES IS 17

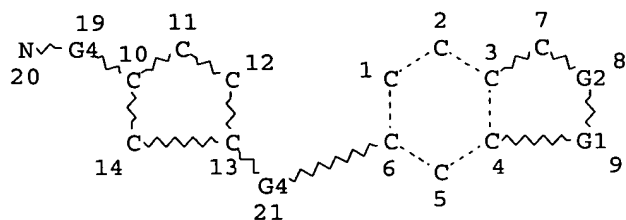
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STEREO ATTRIBUTES: NONE
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STEREO ATTRIBUTES: NONE

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 L6 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L5
 L7 6 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND PD=<SEPTEMBER 18, 2002
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 OR "SEXUAL BEHAVIOR (L) PREMATURE EJACULATION"/CV) OR PREMATURE
 (A) EJACULAT? OR SEXUAL(W) (DYSFUNCTION OR BEHAVIOR) OR ?IMPOTEN?
 L9 3 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND L8
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 L12 4 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 NOT (L9 OR L11)

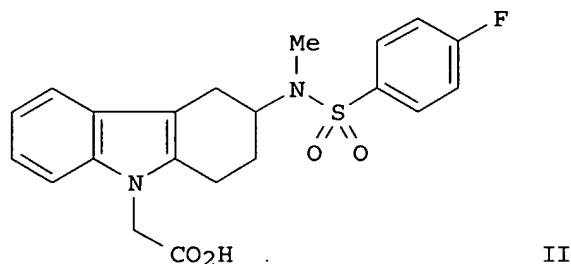
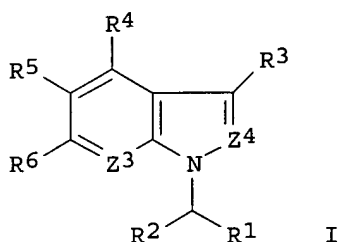
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L12 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2003:931327 HCAPLUS
 DOCUMENT NUMBER: 140:4959
 TITLE: Preparation of indole derivatives as PGD2 receptor
 antagonists

INVENTOR(S): Tanimoto, Norihiko; Hiramatsu, Yoshiharu; Mitsumori, Susumu; Inagaki, Masanao
 PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 150 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097598	A1	20031127	WO 2003-JP6076	20030515
WO 2003097598	C1	20040708		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1505061	A1	20050209	EP 2003-725791	20030515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005171143	A1	20050804	US 2003-514317	20030515
PRIORITY APPLN. INFO.:				
			JP 2002-142126	A 20020516
			WO 2003-JP6076	W 20030515
OTHER SOURCE(S): MARPAT 140:4959				
GI				



AB The title compds. I [wherein Z3 = N or CR7; R4-R7 = independently H, halo, haloalkyl, CO2H, alkoxy carbonyl, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, or aralkyl; R1 = CO2H, alkoxy carbonyl, (un)substituted aminocarbonyl, or tetrazolyl; Z4 = N or CR8; R8 = H, alkyl, or halo; R2 = H or alkyl; R3 = -(CH2)_n-N(Y)-SO₂-Ar, etc.; n = 1-3; Y = H, alkyl, alkenyl, alkynyl, (un)substituted aryl, aralkyl, heteroarylalkyl, or arylalkenyl; Ar = (un)substituted aryl or heteroaryl] and prodrugs, pharmaceutically acceptable salts, or solvates thereof are prepared as CRTH2 receptor antagonists, and are useful for the treatment of allergic diseases (no data). For example, the compound II was prepared in a multi-step synthesis. II showed IC₅₀ of 0.0036 μ M against human CRTH2 receptor.

Formulations containing I as an active ingredient were also described.

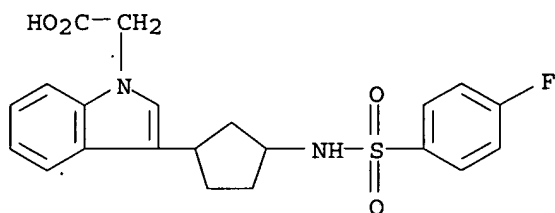
IT 627868-91-1P 627868-92-2P 627868-93-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indole derivs. as PGD2 receptor antagonists)

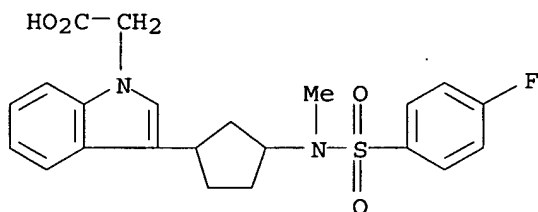
RN 627868-91-1 HCAPLUS

CN 1H-Indole-1-acetic acid, 3-[3-[[[4-fluorophenyl)sulfonyl]amino]cyclopentyl]- (9CI) (CA INDEX NAME)



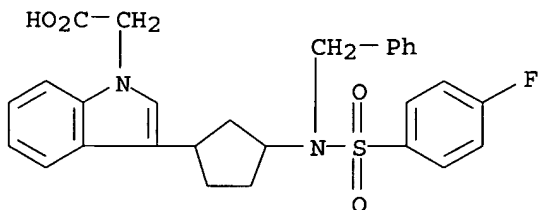
RN 627868-92-2 HCAPLUS

CN 1H-Indole-1-acetic acid, 3-[3-[[[4-fluorophenyl)sulfonyl]methylamino]cyclopentyl]- (9CI) (CA INDEX NAME)



RN 627868-93-3 HCAPLUS

CN 1H-Indole-1-acetic acid, 3-[3-[[[4-fluorophenyl)sulfonyl] (phenylmethyl)amino]cyclopentyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:737352 HCAPLUS

DOCUMENT NUMBER: 139:255345

TITLE: Methods for treatment of rheumatoid arthritis

INVENTOR(S): Whitehead, Clark M.; Earle, Keith A.; Alila, Hector W.; Thompson, W. Joseph

PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 36 pp., Cont. of U.S. Ser. No. 939,414, abandoned.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003176316	A1	20030918	US 2002-278683	20021023
PRIORITY APPLN. INFO.:			US 2001-939414	B1 20010824

OTHER SOURCE(S): MARPAT 139:255345

AB The present invention includes the administration of an inhibitor of phosphodiesterase 2 (PDE2) to a mammal in need of treatment for rheumatoid arthritis wherein said inhibitor has a PDE2 IC50 of no more than about 25 M and wherein said inhibitor does not substantially inhibit cyclooxygenase (COX) I or COX II. The invention may also include inhibitors of phosphodiesterase 5 (PDE5). The invention includes use of substituted condensation products of N-benzyl-3-indenylacetamides with heterocyclic aldehydes and other such inhibitors.

IT 454452-39-2P 454452-41-6P

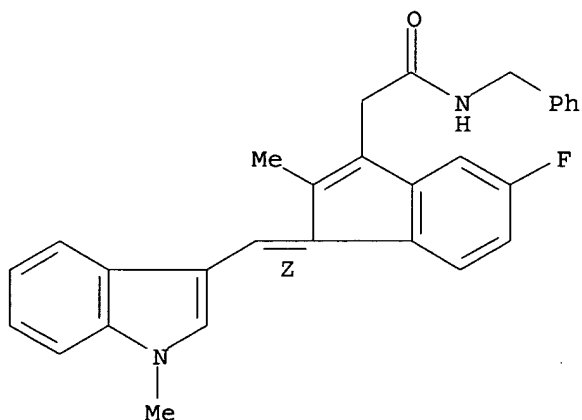
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(treatment of rheumatoid arthritis using inhibitors of phosphodiesterase 2 and 5 that do not inhibited cyclooxygenase such as condensation products of N-benzyl-3-indenylacetamides with heterocyclic aldehydes)

RN 454452-39-2 HCAPLUS

CN 1H-Indene-3-acetamide, 5-fluoro-2-methyl-1-[(1-methyl-1H-indol-3-yl)methylene]-N-(phenylmethyl)-, (1Z)- (9CI) (CA INDEX NAME)

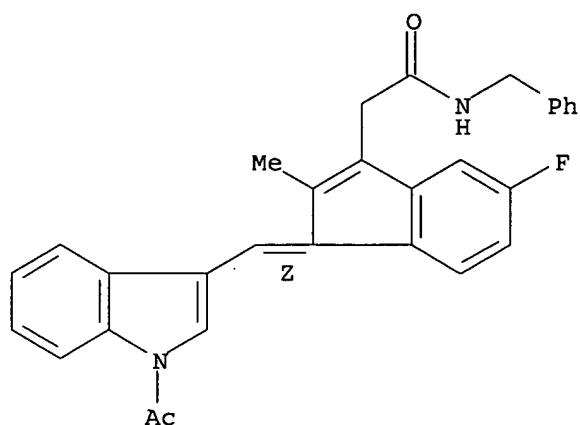
Double bond geometry as described by E or Z.



RN 454452-41-6 HCAPLUS

CN 1H-Indene-3-acetamide, 1-[(1-acetyl-1H-indol-3-yl)methylene]-5-fluoro-2-methyl-N-(phenylmethyl)-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



L12 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:300624 HCAPLUS

DOCUMENT NUMBER: 138:314602

TITLE: Methods for treatment of multiple sclerosis

INVENTOR(S): Whitehead, Clark M.; Earle, Keith A.; Alila, Hector W.; Thompson, W. Joseph

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 37 pp., Cont. of U.S. Ser. No. 935,951, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003073741	A1	20030417	US 2002-152111	20020521
PRIORITY APPLN. INFO.:			US 2001-935951	B1 20010823

OTHER SOURCE(S): MARPAT 138:314602

AB Substituted condensation products of N-benzyl-3-indenylacetamides with heterocyclic aldehydes and other such inhibitors are useful for the treatment of multiple sclerosis.

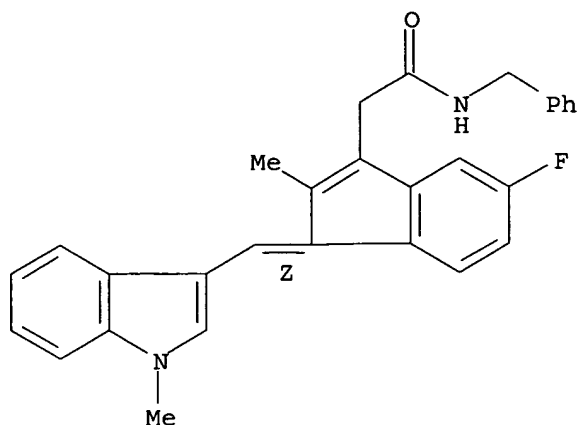
IT **454452-39-2P 454452-41-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(methods for treatment of multiple sclerosis)

RN 454452-39-2 HCAPLUS

CN 1H-Indene-3-acetamide, 5-fluoro-2-methyl-1-[(1-methyl-1H-indol-3-yl)methylene]-N-(phenylmethyl)-, (1Z)- (9CI) (CA INDEX NAME)

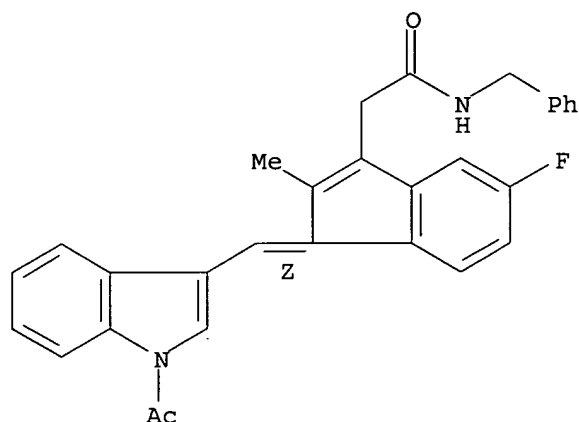
Double bond geometry as described by E or Z.



RN 454452-41-6 HCAPLUS

CN 1H-Indene-3-acetamide, 1-[(1-acetyl-1H-indol-3-yl)methylene]-5-fluoro-2-methyl-N-(phenylmethyl)-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



L12 ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:864384 HCAPLUS

DOCUMENT NUMBER: 137:346209

TITLE: Methods for treatment of type I diabetes

INVENTOR(S): Whitehead, Clark M.; Earle, Keith A.; Alila, Hector W.; Thompson, W. Joseph

PATENT ASSIGNEE(S): Cell Pathways, Inc., USA

SOURCE: U.S., 42 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6479493	B1	20021112	US 2001-935802	20010823
WO 2003017925	A2	20030306	WO 2002-US25524	20020809

WO 2003017925 A3 20040311

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1435962 A2 20040714 EP 2002-763431 20020809

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

PRIORITY APPLN. INFO.: US 2001-935802 A 20010823

WO 2002-US25524 W 20020809

AB Substituted condensation products of -benzyl-3-indenylacetamides with heterocyclic aldehydes and other such inhibitors are useful for the treatment of type I diabetes.

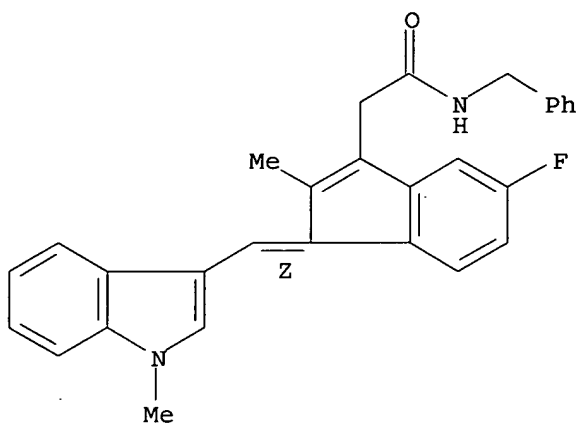
IT 454452-39-2P 454452-41-6P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (methods for treatment of type I diabetes)

RN 454452-39-2 HCAPLUS

CN 1H-Indene-3-acetamide, 5-fluoro-2-methyl-1-[(1-methyl-1H-indol-3-yl)methylene]-N-(phenylmethyl)-, (1Z)- (9CI) (CA INDEX NAME)

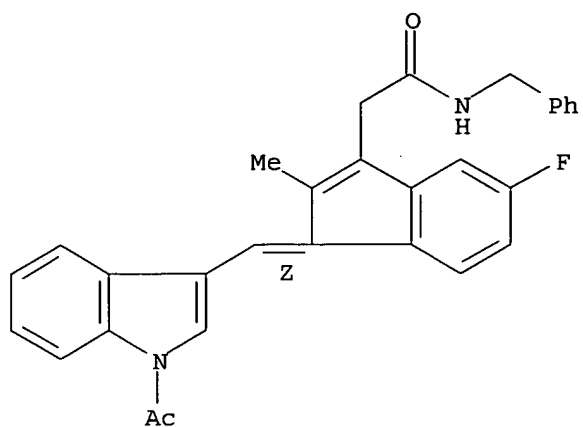
Double bond geometry as described by E or Z.



RN 454452-41-6 HCAPLUS

CN 1H-Indene-3-acetamide, 1-[(1-acetyl-1H-indol-3-yl)methylene]-5-fluoro-2-methyl-N-(phenylmethyl)-, (1Z)- (9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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